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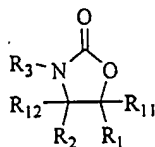
INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 263/20, 413/12, 417/12, C07F 9/653, C07D 417/04, 413/04	A1	(11) International Publication Number: WO 99/37630 (43) International Publication Date: 29 July 1999 (29.07.99)
(21) International Application Number: PCT/US99/01318 (22) International Filing Date: 22 January 1999 (22.01.99) (30) Priority Data: 09/012,535 23 January 1998 (23.01.98) US 09/086,702 28 May 1998 (28.05.98) US (63) Related by Continuation (CON) or Continuation-in-Part (CIP) to Earlier Applications US 09/012,535 (CIP) Filed on 23 January 1998 (23.01.98) US 09/086,702 (CIP) Filed on 28 May 1998 (28.05.98) (71) Applicant (for all designated States except US): VERSICOR, INC. [US/US]; 34790 Ardentech Court, Fremont, CA 94555 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): GORDEEV, Mikhail F. [RU/US]; 15267 Hesperian Boulevard, San Leandro, CA 94578 (US). LUEHR, Gary, W. [US/US]; 33252 Palomino Common, Fremont, CA 94555-1522 (US). PATEL, Dinesh, V. [US/US]; 45109 Cougar Circle, Fremont, CA 94539		(US). NI, Zhi-Jie [CN/US]; 34497 Winslow Terrace, Fre- mont, CA 94555 (US). GORDON, Eric [US/US]; 955 Chan- ning Avenue, Palo Alto, CA 94301 (US). (74) Agents: JOHNSTON, Madeline, I. et al.; Morrison & Foerster LLP, 755 Page Mill Road, Palo Alto, CA 94304-1018 (US). (81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW. ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published With international search report.
(54) Title: OXAZOLIDINONE COMBINATORIAL LIBRARIES, COMPOSITIONS AND METHODS OF PREPARATION		
(57) Abstract <p>Oxazolidinones and methods for their synthesis are provided. Also provided are combinatorial libraries comprising oxazolidinones, and methods to prepare the libraries. Further provided are methods of making biologically active oxazolidinones as well as pharmaceutically acceptable compositions comprising the oxazolidinones. The methods of library preparation include the attachment of oxazolidinones to a solid support. The methods of compound preparation in one embodiment involve the reaction of an iminophosphorane with a carbonyl containing polymeric support.</p>		

CLAIMS

What is claimed is:

1. A method for the solid phase synthesis of oxazolidinones, comprising the steps of:
 - a) attaching an olefin to a solid support;
 - b) oxidizing the olefin to provide an epoxide functionality;
 - c) opening the epoxide with an amine to form an amino alcohol; and
 - d) cyclizing the amino alcohol using a phosgene equivalent.
2. The method according to claim 1, where the olefin is an allylic amine or allylamine.
3. The method according to claim 1, where the amine is an amino acid, or an aromatic amine.
4. A method for the synthesis of oxazolidinone combinatorial libraries, comprising the steps of:
 - a) attaching an olefin group to an array of solid supports;
 - b) oxidizing the individual olefin groups to provide an array of solid support bound epoxides; and
 - c) opening the epoxide with an amine to form an amino alcohol; and
 - d) cyclizing the amino alcohol using a phosgene equivalent.
5. The method according to claim 4, where the olefin is an allylic amine, or allylamine.
6. The method according to claim 4, where the amine units are amino acids or aromatic amines.
7. An oxazolidinone combinatorial library, where the oxazolidinones comprising the library are of the following structure:



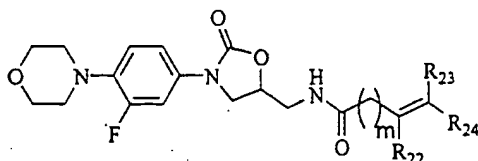
1a

where R_1 is selected from the group consisting of alkyl, heteroalkyl, aryl and heteroaryl, R_2 is selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl and heteroaryl, R_3 is selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl and heteroaryl, R_{11} is selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl and heteroaryl, and R_{12} is selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl and heteroaryl.

8. The combinatorial library according to claim 7, where R_3 is selected from the group consisting of aryl and heteroaryl, and further where the aryl and heteroaryl groups are the aryl and heteroaryl groups attached to the amines of Table 2 and Figures 29, 30, and 31.

9. The combinatorial library according to claim 7, where R_3 is a heteroaryl group selected from the group consisting of a pyridyl group, a thienylphenyl group, an oxazolyl group, a pyrrolyl group, and a morpholinofluorophenyl group.

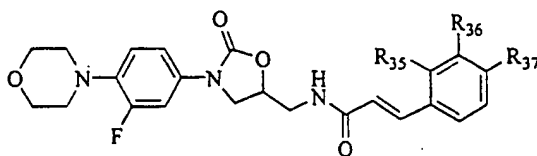
10. An antimicrobial compound where the compound is of the structure:



where m is 0, 1, 2 or 3, and where R_{22} , R_{23} and R_{24} are independently selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl and heteroaryl.

11. The antimicrobial compound according to claim 10, where m is 0, and where R_{22} and R_{23} are hydrogen, and where R_{24} is an aryl group.

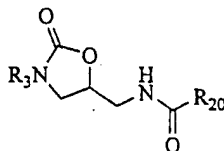
12. The antimicrobial compound according to claim 11, where the compound is of the structure:



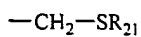
where R_{35} , R_{36} and R_{37} are independently selected from the group consisting of hydrogen, electron withdrawing group, alkyl, heteroalkyl, aryl and heteroaryl.

13. An antimicrobial compound, where the compound has the following structure:

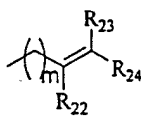
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where R_3 is selected from the group consisting of aryl and heteroaryl, and where R_{20} is selected from the group consisting of structures A, B, C, I, J and K



A

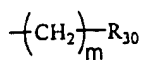


B

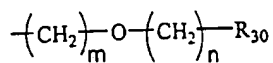
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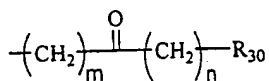
C



I



J



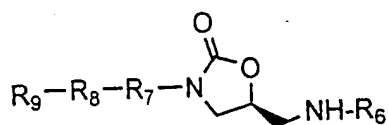
K

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wherein m is 0, 1, 2 or 3, and where n is 0, 1, 2 or 3, and wherein R₂₁ is selected from the group consisting of alkyl, heteroalkyl, aryl and heteroaryl, and where R₂₂, R₂₃ and R₂₄ are independently selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl and heteroaryl, and where R₂₅ is selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl and heteroaryl, and where R₃₀ is selected from the group consisting of alkyl, heteroalkyl, aryl and heteroaryl.

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14. A compound of formula 2c:



15

2c

wherein:

R₆ is acyl or sulfonyl;

R₇ is aryl or heteroaryl;

R_8 is C_1 - C_7 alkyl, NR, O, S, $C(=O)NR$, $NRC(=O)$, $C(=O)$, $C(=O)O$, $OC(=O)$, $S(=O)$, SO_2 , SO_2NR , $NRSO_2$, $NRCONR'$, or $(CH_2)_nO$, wherein $n = 0-6$, and wherein R and R' are independently H, alkyl, heteroalkyl, aryl or heteroaryl; and

R_9 is hydrogen, OH, alkyl, aryl, heteroalkyl, or heteroaryl.

15. The compound of claim 14 wherein:

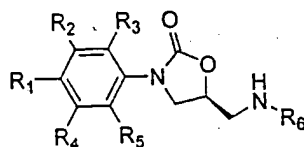
R_6 is $C(=O)R$, wherein R is H, alkyl, or aryl;

R_7 is aryl;

R_8 is $NH(C=O)$ or $NR'(C=O)$, where R' is H, alkyl, or aryl; and

R_9 is hydrogen, pyridinyl, thiazolyl, benzothiazolyl, isothiazolyl, quinolinyl, 1,3,4-triazolyl, or 1,3,4-thiadiazolyl.

16. A compound of the structure **1b**:



1b

wherein R_2 , R_3 , R_4 and R_5 are, independently, hydrogen, alkyl, heteroalkyl, heteroaryl or an electron withdrawing group; R_6 is acyl or sulfonyl; and, R_1 is one of the following functional groups: $C(O)NR_7R_8$, wherein R_7 and R_8 are, independently, hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; $C(O)OR_9$, wherein R_9 is hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; $C(O)R_{10}$, wherein R_{10} is hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; SR_{11} , wherein R_{11} is hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; $S(O)_2R_{11}$, wherein R_{11} is hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; $S(O)R_{11}$, wherein R_{11} is hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; $NR_{12}R_{13}$, wherein R_{12} and R_{13} are, independently, hydrogen, acyl, sulfonyl, alkyl, heteroalkyl, aryl or heteroaryl; 2-oxazolyl, wherein R_{14} is at the 4-position and R_{15} is at the 5-position of the oxazolyl, and wherein R_{14}

and R_{15} are, independently, hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or an electron withdrawing group; 2-aminothiazolyl, wherein R_{16} is at the 4-position and R_{17} is at the 5-position of the thiazole, and wherein R_{16} and R_{17} are, independently, hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or an electron withdrawing group; and, $\text{CH}_2\text{NR}_{18}\text{R}_{19}$, wherein R_{18} and R_{19} are, independently, hydrogen, alkyl, heteroalkyl, aryl, heteroaryl, acyl or sulfonyl.

17. A combinatorial library of compounds according to claim 16.
18. A compound of claim 16, wherein R_1 is $\text{C(O)NR}_7\text{R}_8$, C(O)OR_9 , C(O)R_{10} , SR_{11} , $\text{S(O)}_2\text{R}_{11}$, S(O)R_{11} or $\text{NR}_{12}\text{R}_{13}$.
19. A compound according to claim 16, wherein R_1 is $\text{C(O)NR}_7\text{R}_8$.
20. A compound according to claim 16, wherein R_1 is C(O)OR_9 .
21. A compound according to claim 16, wherein R_1 is C(O)R_{10} .
22. A compound according to claim 16, wherein R_1 is SR_{11} .
23. A compound according to claim 16, wherein R_1 is $\text{NR}_x(\text{C=O})\text{R}_y$, wherein R_x and R_y are independently hydrogen, alkyl, heteroalkyl, aryl, or heteroaryl.
24. A compound according to claim 16, wherein R_1 is $\text{NR}_x(\text{SO}_2)\text{R}_y$, wherein R_x and R_y are independently hydrogen, alkyl, heteroalkyl, aryl, or heteroaryl with the proviso that R_y is not H.
25. A compound according to claim 16, wherein R_1 is $\text{NR}_{12}\text{R}_{13}$.
26. A compound according to claim 16, wherein R_1 is 2-oxazolyl, wherein R_{14} is at the 4-position and R_{15} is at the 5-position of the oxazole group.
27. A compound according to claim 16, wherein R_1 is 2-aminothiazolyl, wherein R_{16} is at the 4-position and R_{17} is at the 5-position of the aminothiazolyl group.
28. A compound according to claim 16, wherein R_1 is $\text{CH}_2\text{NR}_{18}\text{R}_{19}$.
29. A compound according to claim 18; wherein R_3 , R_4 and R_5 are hydrogen.
30. A compound according to claim 29, wherein R_2 is fluorine.
31. A compound according to claim 30, wherein R_6 is C(O)CH_3 .
32. A compound according to claim 31, wherein R_1 is $\text{C(O)NR}_7\text{R}_8$ and R_7 is hydrogen.
33. A compound according to claim 32, wherein R_8 is heteroaryl.
34. A biologically active oxazolidinone derived from a combinatorial library

according to claim 17.

35. A compound according to claim 19, wherein R_3 , R_4 and R_5 are hydrogen.
36. A compound according to claim 26, wherein R_3 , R_4 and R_5 are hydrogen.
37. A compound according to claim 27, wherein R_3 , R_4 and R_5 are hydrogen.
- 5 38. A compound according to claim 35, wherein R_2 is fluorine.
39. A compound according to claim 36, wherein R_2 is fluorine.
40. A compound according to claim 37, wherein R_2 is fluorine.
41. A compound according to claim 38, wherein R_6 is $C(O)CH_3$, and NR_7R_8 is $NH(5'-(5\text{-aminopyridine-2-yl})\text{thiopyridine-3'-yl})$ or $NH(\text{pyridine-3-yl})$.
- 10 42. A compound according to claim 38, wherein R_6 is $C(O)CH_2SMe$, and NR_7R_8 is $NH(5\text{-chloropyridine-3-yl})$.
43. A compound according to claim 38, wherein R_6 is $C(O)CHCH(\text{pyridine-3-yl})$, and R_7R_8 is $NH(5\text{-chloropyridine-3-yl})$.
44. A method of preparing the combinatorial libraries according to claim 17,
- 15 comprising the steps of:
- a) attaching a plurality of aryl oxazolidinones to a plurality of solid supports;
 - b) functionalizing the 4-position of the aryl groups of the attached oxazolidinones; and, optionally,
 - 20 c) removing the oxazolidinones from the solid supports.
45. The method according to claim 44, wherein the aryl oxazolidinone is attached to a solid support through the reaction of an iminophosphorane with a carbonyl containing resin to form an imine.
- 25 46. The method according to claim 44, wherein the aryl oxazolidinone is attached to a solid support through the reaction of an amine with a carbonyl containing resin to form an imine.
47. The method according to claim 45, wherein the attachment further comprises the step of reducing the imine.
48. The method according to claim 46, wherein the attachment further
- 30 comprises the step of reducing the imine.
49. A method of synthesizing the compounds according to claim 16, wherein

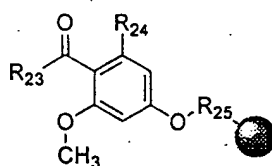
the method comprises the steps of:

- a) providing an iminophosphorane;
- b) mixing the iminophosphorane with a resin that comprises carbonyl groups to form an imine intermediate; and,
- c) reducing the imine intermediate to afford a compound attached to the resin through an amine linkage.

50. A method according to claim 49, wherein the iminophosphorane is provided from an azide that is reacted with a phosphine.

51. A method according to claim 49, wherein the iminophosphorane is provided from an amine that is reacted with a (trisubstituted)phosphine dihalide.

52. A method according to claim 49, wherein the resin comprising carbonyl groups is of the structure



1c

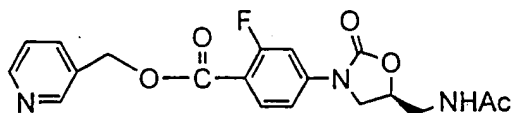
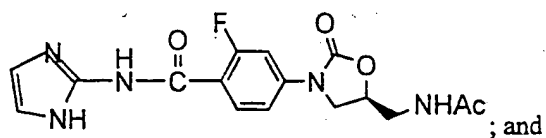
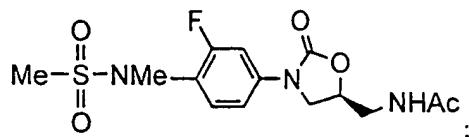
wherein R_{23} is hydrogen, alkyl, aryl, O-alkyl or O-aryl; R_{24} is hydrogen, CH_3O or NO_2 ; R_{25} is $(\text{CH}_2)_n\text{CONH}$, wherein n is an integer between 1 and about 5; and, the filled circle is a polymeric support.

53. A method according to claim 52, wherein R_{23} is hydrogen, R_{24} is CH_3O , R_{25} is $(\text{CH}_2)_3\text{CONH}$, and the filled circle is Tentagel, (cross-linked)polystyrene, (cross-linked)polyethyleneglycol or polyethyleneglycol-polystyrene compositions.

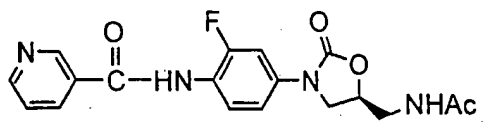
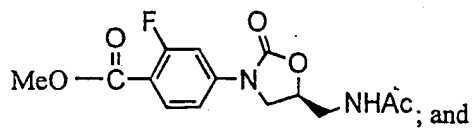
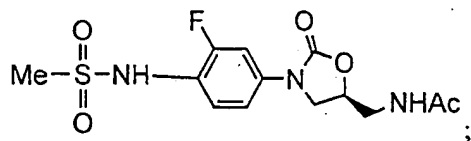
54. A method of synthesizing a compound according to claim 16, wherein the method comprises the steps of:

- a) reacting an amine with a resin that comprises carbonyl groups to form an imine intermediate; and
- b) reducing the imine intermediate to afford a compound attached to the resin through an amine linkage.

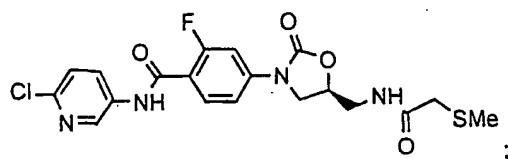
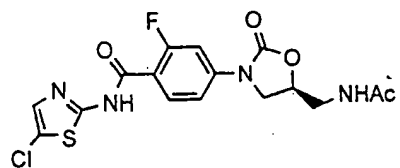
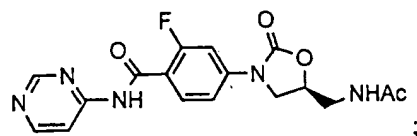
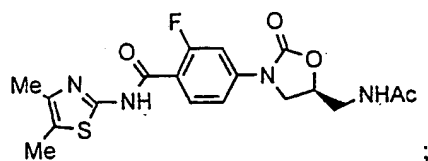
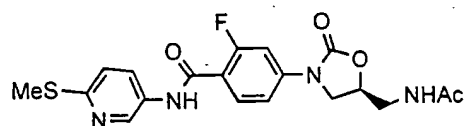
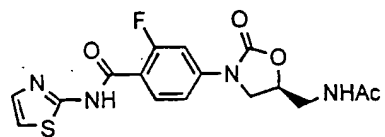
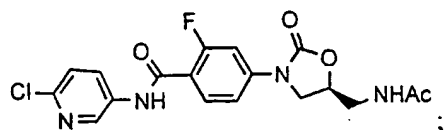
55. The compound of claim 14 selected from the group consisting of

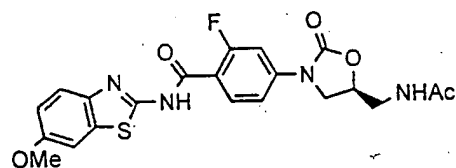
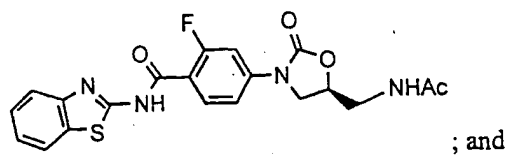


56. The compound of claim 14 selected from the group consisting of



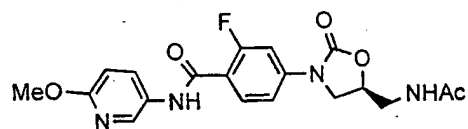
57. The compound of claim 14 selected from the group consisting of



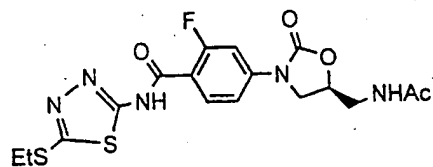
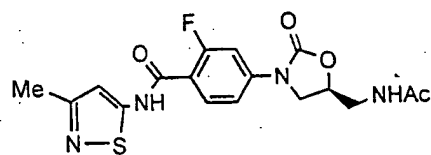
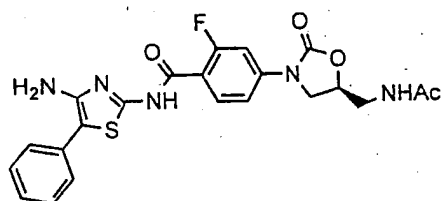


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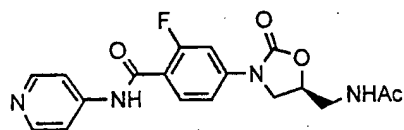
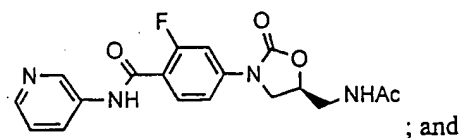
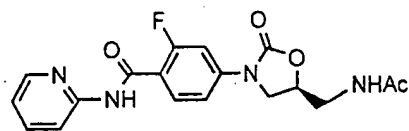
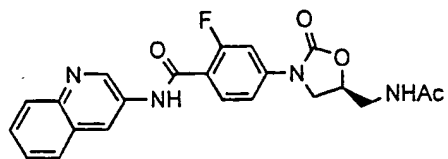
58. The compound of claim 14 selected from the group consisting of



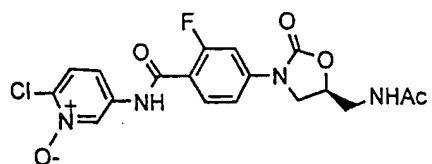
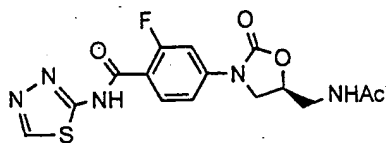
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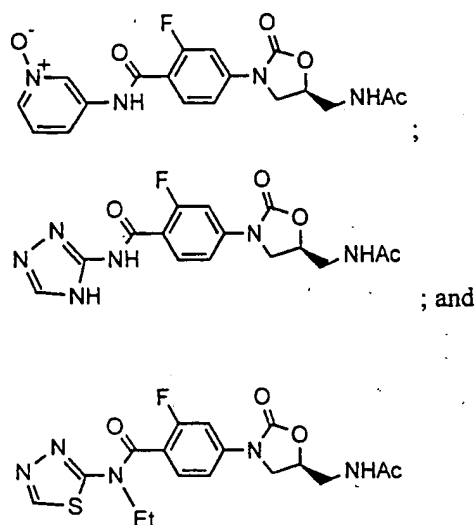


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59. The compound of claim 14 selected from the group consisting of





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60. The compound of claim 14 wherein:

R_6 is $C(=O)R$, wherein R is H , alkyl, heteroalkyl, aryl or heteroaryl;

R_7 is aryl;

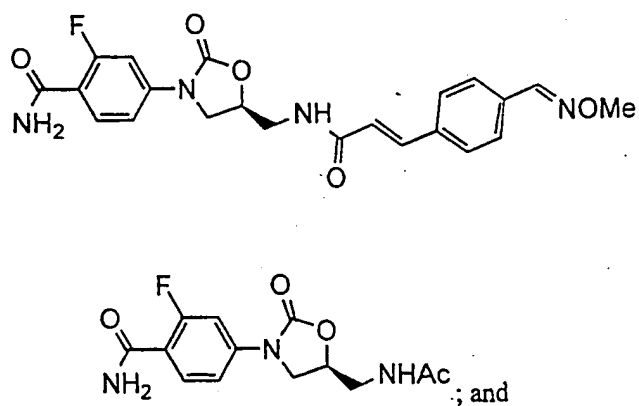
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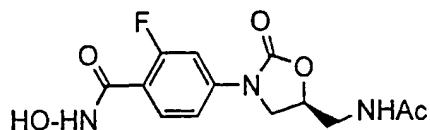
R_8 is $NH(C=O)$; and

R_9 is hydrogen or OH .

61. The compound of claim 14 wherein the compound is selected from the group consisting of:

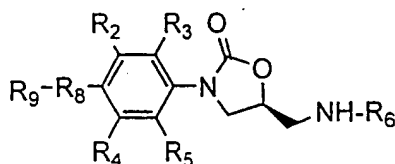
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62. A compound of formula 3c



3c

10

wherein:

R_2 , R_3 , R_4 and R_5 are, independently, hydrogen, alkyl, heteroalkyl, heteroaryl or an electron withdrawing group;

R_6 is acyl or sulfonyl;

15

R_8 is C_1 - C_7 alkyl, NR, O, S, $C(=O)NR$, $NRC(=O)$, $C(=O)$, $C(=O)O$, $OC(=O)$, $S(=O)$, SO_2 , SO_2NR , $NRSO_2$, $NRCONR'$, or $(CH_2)_nO$, wherein $n = 0-6$, and wherein R and R' are independently H, alkyl, heteroalkyl, aryl or heteroaryl; and

R_9 is alkyl, aryl, heteroalkyl, or heteroaryl.

20

63. The compound of claim 62, wherein

R_6 is $C(=O)CH_3$;

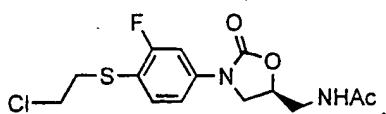
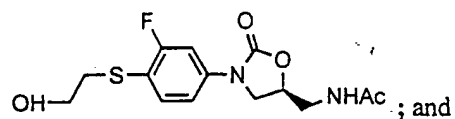
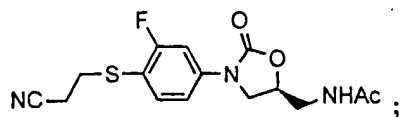
R_7 is aryl;

R_8 is S; and

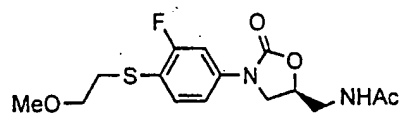
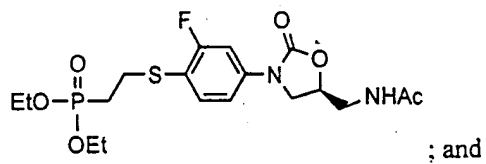
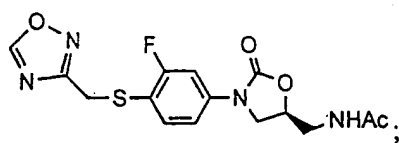
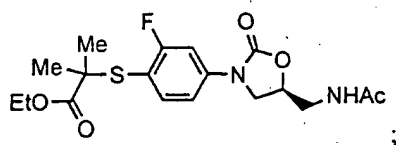
R_9 is heteroalkyl.

25

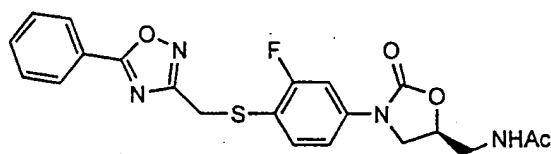
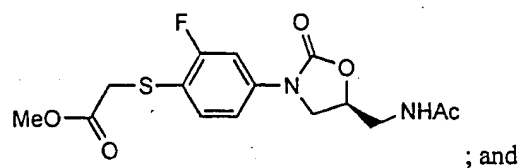
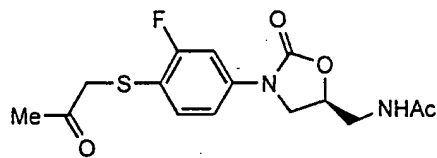
64. The compound of claim 62, wherein the compound is selected from the group consisting of



65. The compound of claim 62, wherein the compound is selected from the group consisting of



66. The compound of claim 62, wherein the compound is selected from the group consisting of



67. The compound of claim 62 wherein:

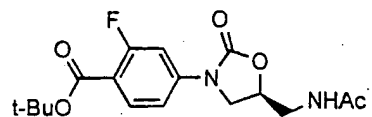
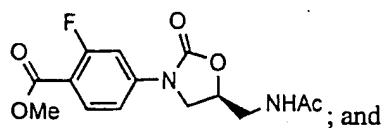
R_6 is $C(=O)CH_3$;

R_7 is aryl;

R_8 is $OC(=O)$; and

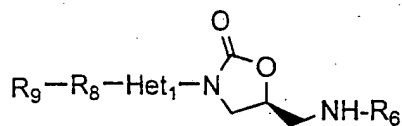
R_9 is alkyl.

68. The compound of claim 62 selected from the group consisting of:



5

69. A compound of formula 4c:



10

4c

wherein:

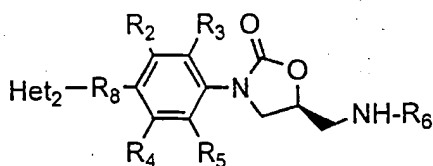
R₆ is acyl or sulfonyl;

Het₁ is heteroaryl;

R₈ is C₁-C₇ alkyl, NR, O, S, C(=O)NR, C(=O)NOR, NRC(=O), C(=O), C(=O)O, OC(=O), S(=O), SO₂, SO₂NR, NRSO₂, NRCONR', or (CH₂)_nO, wherein n = 0-6, and
 15 wherein R and R' are independently H, alkyl, heteroalkyl, aryl or heteroaryl; and
 R₉ is alkyl, aryl, heteroalkyl, or heteroaryl.

70. A compound of formula 5c:

20



5c

wherein:

R_2 , R_3 , R_4 and R_5 are, independently, hydrogen, alkyl, heteroalkyl, heteroaryl or an
5 electron withdrawing group;

R_6 is acyl or sulfonyl;

R_8 is C_1 - C_7 alkyl, NR, O, S, $C(=O)NR$, $NRC(=O)$, $C(=O)NOR$, $C(=O)$, $C(=O)O$,
 $OC(=O)$, $S(=O)$, SO_2 , SO_2NR , $NRSO_2$, $NRCONR'$, or $(CH_2)_nO$, wherein $n = 0-6$, and
wherein R and R' are independently H, alkyl, heteroalkyl, aryl or heteroaryl; and

10 Het_2 is a heterocyclic group.

71. The compound of claim 70, wherein

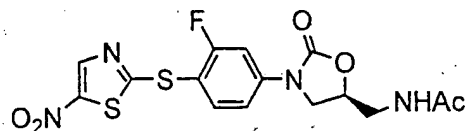
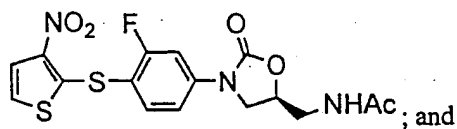
R_6 is $C(=O)CH_3$;

R_7 is aryl;

15 R_8 is S; and

Het_2 is a thienylphenyl or thiazolyl group.

72. The compound of claim 70 selected from the group consisting of:



73. The compound of claim 70 wherein:

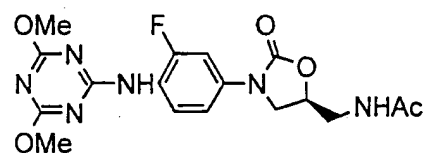
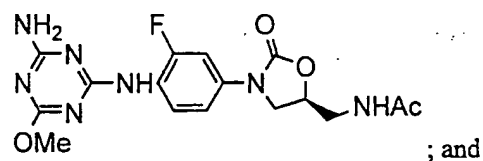
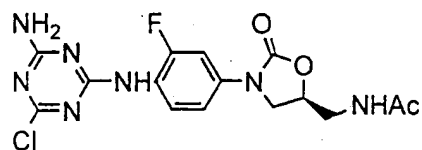
R_6 is $C(=O)CH_3$;

R_7 is aryl;

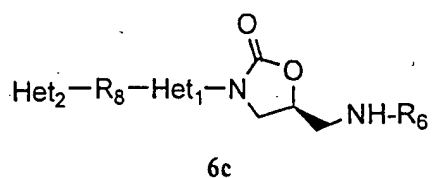
R_8 is NH; and

Het₂ is 1,3,5-triazinyl.

74. The compound of claim 70 selected from the group consisting of



75. A compound of formula 6c:



wherein:

R_6 is acyl or sulfonyl;

R_8 is C_1 - C_7 alkyl, NR, O, S, $C(=O)NR$, $NRC(=O)$, $C(=O)NOR$, $C(=O)$, $C(=O)O$, $OC(=O)$, $S(=O)$, SO_2 , SO_2NR , $NRSO_2$, $NRCONR'$, or $(CH_2)_nO$,

wherein $n = 0-6$, and wherein R and R' are independently H, alkyl, heteroalkyl, aryl or heteroaryl;

Het₁ is heteroaryl; and

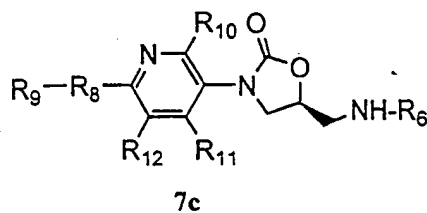
Het₂ is a heterocyclic group.

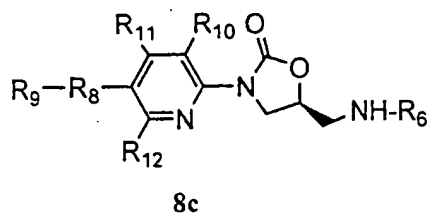
76. The compound of claim 75 wherein

Het₁ is selected from the group consisting of thienylphenyl, thiazolyl, 1,3,4-thiadiazolyl, pyridinyl, pyrimidinyl, phenyl and fluorophenyl; and

Het₂ is selected from the group consisting of oxazolyl, isoxazolyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-oxadiazolyl, thienylphenyl, thiazolyl, isothiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, pyrrolyl, imidazolyl, pyrazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,3-triazinyl, 1,2,4-triazinyl, tetrazolyl, pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,2,4-triazinyl, 1,3,5-triazinyl, and 1,2,4,5-tetrazinyl.

77. A compound of formulas 7c or 8c:





wherein:

5

R_6 is acyl or sulfonyl;

R_8 is C_1 - C_7 alkyl, NR, O, S, $C(=O)NR$, $C(=O)NOR$, $NRC(=O)$, $C(=O)$, $C(=O)O$, $OC(=O)$, $S(=O)$, SO_2 , SO_2NR , $NRSO_2$, $NRCONR'$, or $(CH_2)_nO$, wherein $n = 0-6$, and wherein R and R' are independently H, alkyl, heteroalkyl, aryl or heteroaryl;

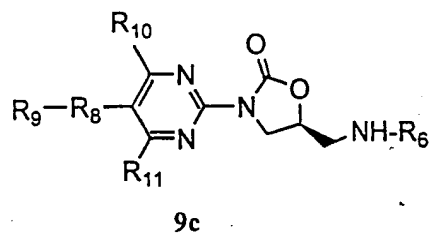
R_9 is alkyl, aryl, heteroalkyl, or heteroaryl; and

10

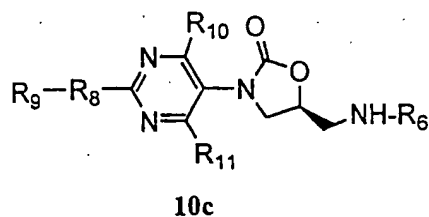
R_{10} , R_{11} and R_{12} are independently hydrogen, alkyl, aryl, heteroalkyl, electron withdrawing group, F, Cl, CN, NO_2 , $NR''R'''$, OR'' , SR'' , $S(=O)R''$, SO_2R'' , $C(=O)R''$, $C(=O)OR''$, $OC(=O)R''$, $C(=O)NR''R'''$, $N(R'')C(=O)R'''$, or N-oxide group in the pyridine nuclei, wherein R'' and R''' are independently H, alkyl, heteroalkyl, aryl or heteroaryl.

15

78. A compound of formula 9c or 10c:



20



wherein:

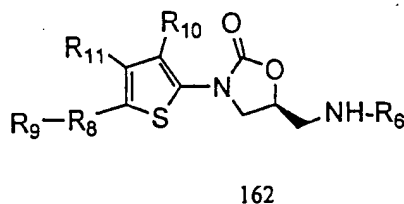
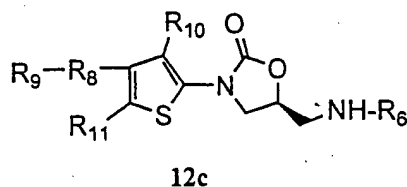
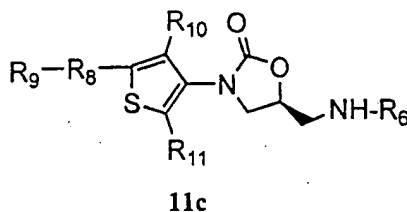
R_6 is acyl or sulfonyl;

R_8 is C_1 - C_7 alkyl, NR, O, S, $C(=O)NR$, $C(=O)NOR$, $NRC(=O)$, $C(=O)$, $C(=O)O$,
 5 $OC(=O)$, $S(=O)$, SO_2 , SO_2NR , $NRSO_2$, $NRCONR'$, or $(CH_2)_nO$, where $n = 0-6$, and
 where R and R' are independently H, alkyl, heteroalkyl, aryl or heteroaryl;

R_9 is alkyl, aryl, heteroalkyl, or heteroaryl; and

R_{10} and R_{11} are independently hydrogen, alkyl, aryl, heteroalkyl, electron
 withdrawing group, F, Cl, CN, NO_2 , $NR''R'''$, OR'' , SR'' , $S(=O)R''$, SO_2R'' , $C(=O)R''$,
 10 $C(=O)OR''$, $OC(=O)R''$, $C(=O)NR''R'''$, $N(R'')C(=O)R'''$, or N-oxide group in the
 pyrimidine nuclei, wherein R' and R''' are independently H, alkyl, heteroalkyl, aryl or
 heteroaryl.

79. A compound of formula 11c, 12c or 13c:



13c

wherein:

R_6 is acyl or sulfonyl;

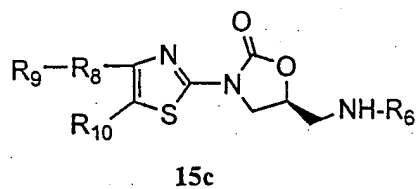
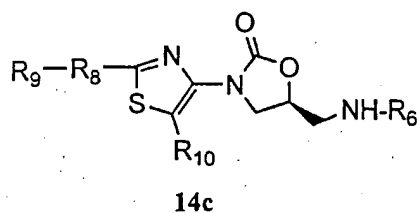
5 R_8 is C_1 - C_7 alkyl, NR, O, S, $C(=O)NR$, $C(=O)NOR$, $NRC(=O)$, $C(=O)$, $C(=O)O$, $OC(=O)$, $S(=O)$, SO_2 , SO_2NR , $NRSO_2$, $NRCONR'$, or $(CH_2)_nO$, wherein $n = 0-6$, and wherein R and R' are independently H, alkyl, heteroalkyl, aryl or heteroaryl;

R_9 is alkyl, aryl, heteroalkyl, or heteroaryl; and

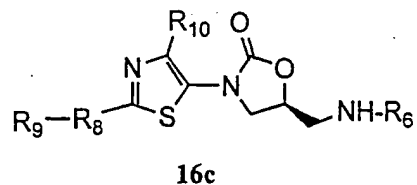
10 R_{10} and R_{11} are independently hydrogen, alkyl, aryl, heteroalkyl, electron withdrawing group, F, Cl, CN, NO_2 , $NR''R'''$, OR'' , SR'' , $S(=O)R''$, SO_2R'' , $C(=O)R''$, $C(=O)OR''$, $OC(=O)R''$, $C(=O)NR''R'''$, or $N(R'')C(=O)R'''$, wherein R'' and R''' are independently H, alkyl, heteroalkyl, aryl or heteroaryl.

80. A compound of formula 14c, 15c or 16c:

15



20



wherein:

R_6 is acyl or sulfonyl;

R_8 is C_1 - C_7 alkyl, NR, O, S, $C(=O)NR$, $C(=O)NOR$, $NRC(=O)$, $C(=O)$, $C(=O)O$, $OC(=O)$, $S(=O)$, SO_2 , SO_2NR , $NRSO_2$, $NRCONR'$, or $(CH_2)_nO$, wherein $n = 0-6$, and

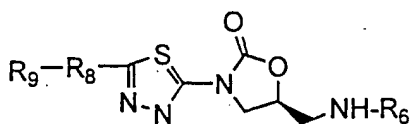
5 wherein R and R' are independently H, alkyl, heteroalkyl, aryl or heteroaryl;

R_9 is alkyl, aryl, heteroalkyl, or heteroaryl; and

R_{10} is hydrogen, alkyl, aryl, heteroalkyl, electron withdrawing group, F, Cl, CN, NO_2 , $NR''R'''$, OR'' , SR'' , $S(=O)R''$, SO_2R'' , $C(=O)R''$, $C(=O)OR''$, $OC(=O)R''$, $C(=O)NR''R'''$, or $N(R'')C(=O)R'''$, where R'' and R''' are independently H, alkyl, heteroalkyl, aryl or heteroaryl.

10

81. A compound of formula 17c:



17c

15

wherein:

R_6 is acyl or sulfonyl;

R_8 is C_1 - C_7 alkyl, NR, O, S, $C(=O)NR$, $C(=O)NOR$, $NRC(=O)$, $C(=O)$, $C(=O)O$, $OC(=O)$, $S(=O)$, SO_2 , SO_2NR , $NRSO_2$, $NRCONR'$, or $(CH_2)_nO$, where $n = 0-6$, and

20

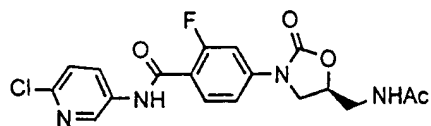
where R and R' are independently H, alkyl, heteroalkyl, aryl or heteroaryl; and

R_9 is alkyl, aryl, heteroalkyl, or heteroaryl.

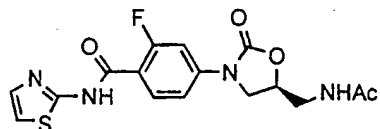
25

82. A composition for the treatment or prevention of an infectious disorder comprising an effective amount of a compound of claim 14 and a pharmaceutically acceptable carrier.

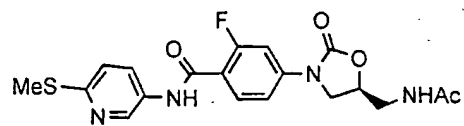
83. The composition of claim 82 wherein the compound is



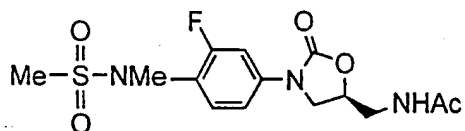
84. The composition of claim 82 wherein the compound is



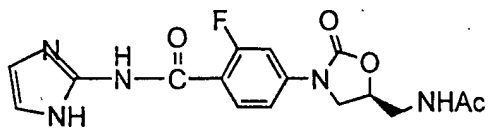
85. The composition of claim 82 wherein the compound is



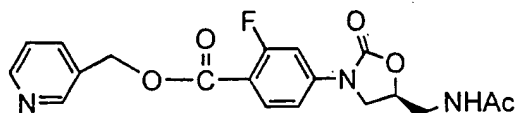
86. The composition of claim 82 wherein the compound is



87. The composition of claim 82 wherein the compound is



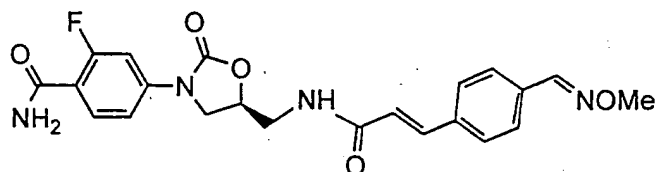
88. The composition of claim 82 wherein the compound is



89. A composition for the treatment or prevention of an infectious disorder comprising an effective amount of a compound of claim 55 and a pharmaceutically acceptable carrier.

90. A composition for the treatment or prevention of an infectious disorder comprising an effective amount of a compound of claim 57 and a pharmaceutically acceptable carrier.

91. The composition of claim 82, wherein the compound is



92. A composition for the treatment or prevention of an infectious disorder comprising an effective amount of a compound of claim 61 and a pharmaceutically acceptable carrier.

93. A composition for the treatment or prevention of an infectious disorder comprising an effective amount of a compound of claim 64 and a pharmaceutically acceptable carrier.

94. A composition for the treatment or prevention of an infectious disorder comprising an effective amount of a compound of claim 72 and a pharmaceutically acceptable carrier.

95. A method of treating or preventing an infectious disorder in a human or other animal subject, comprising administering to the subject an effective amount of a compound of claim 14.

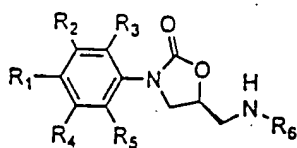
5 96. A method of treating or preventing an infectious disorder in a human or other animal subject, comprising administering to the subject an effective amount of a compound of claim 55.

10 97. A method of treating or preventing an infectious disorder in a human or other animal subject, comprising administering to the subject an effective amount of a compound of claim 57.

15 98. A method of treating or preventing an infectious disorder in a human or other animal subject, comprising administering to the subject an effective amount of a compound of claim 61.

20 99. A method of treating or preventing an infectious disorder in a human or other animal subject, comprising administering to the subject an effective amount of a compound of claim 64.

100. A method of treating or preventing an infectious disorder in a human or other animal subject, comprising administering to the subject an effective amount of a compound of claim 72.



1b

FIGURE 1

2 / 50

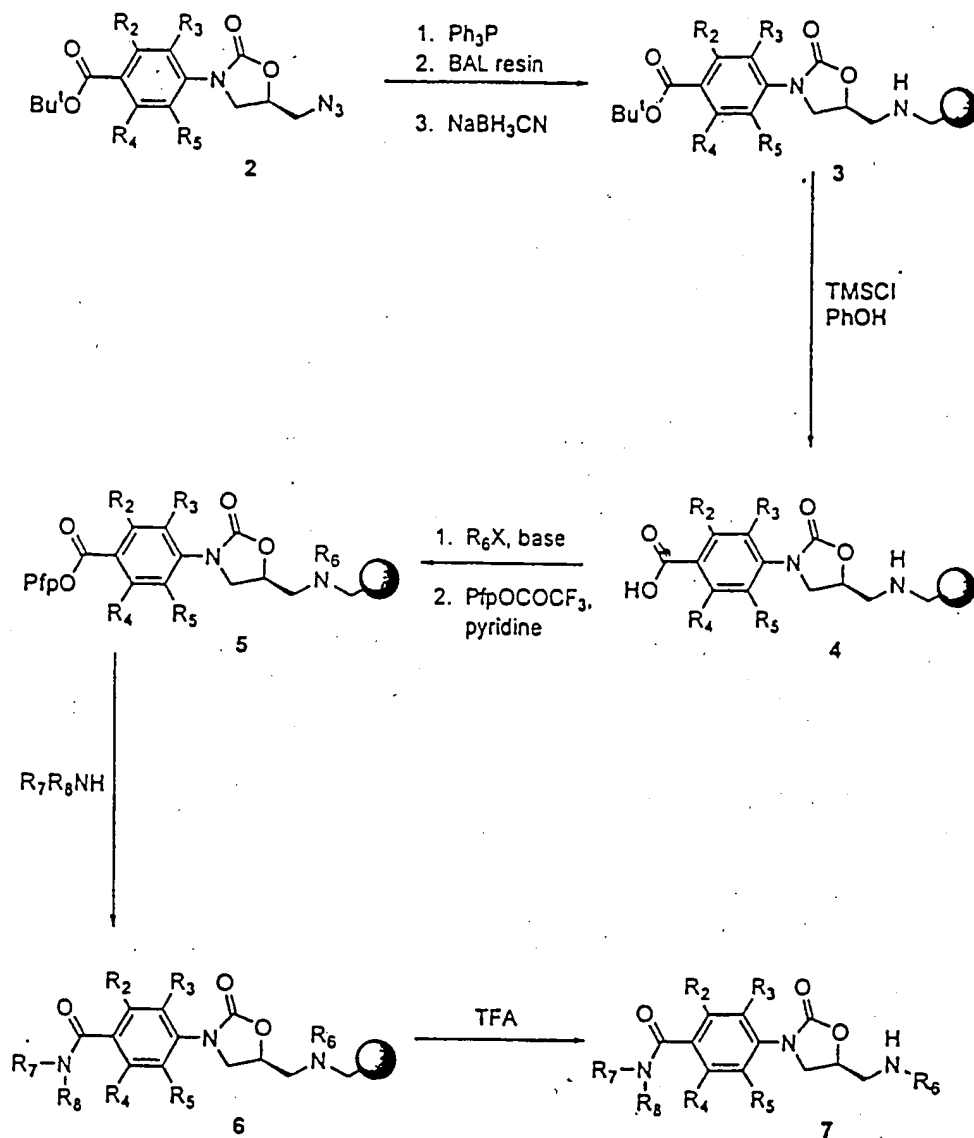


FIGURE 2

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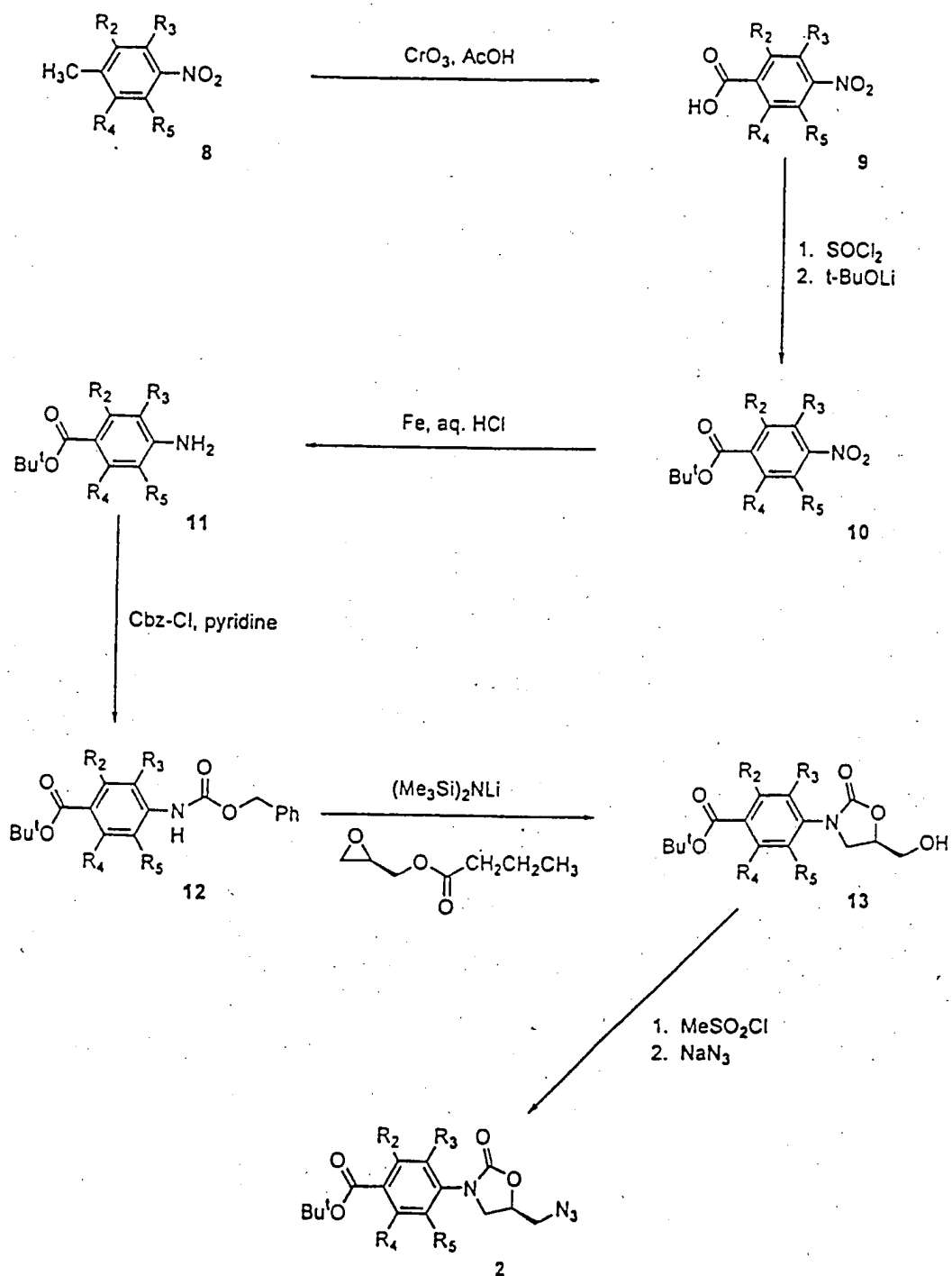


FIGURE 3

4 / 50

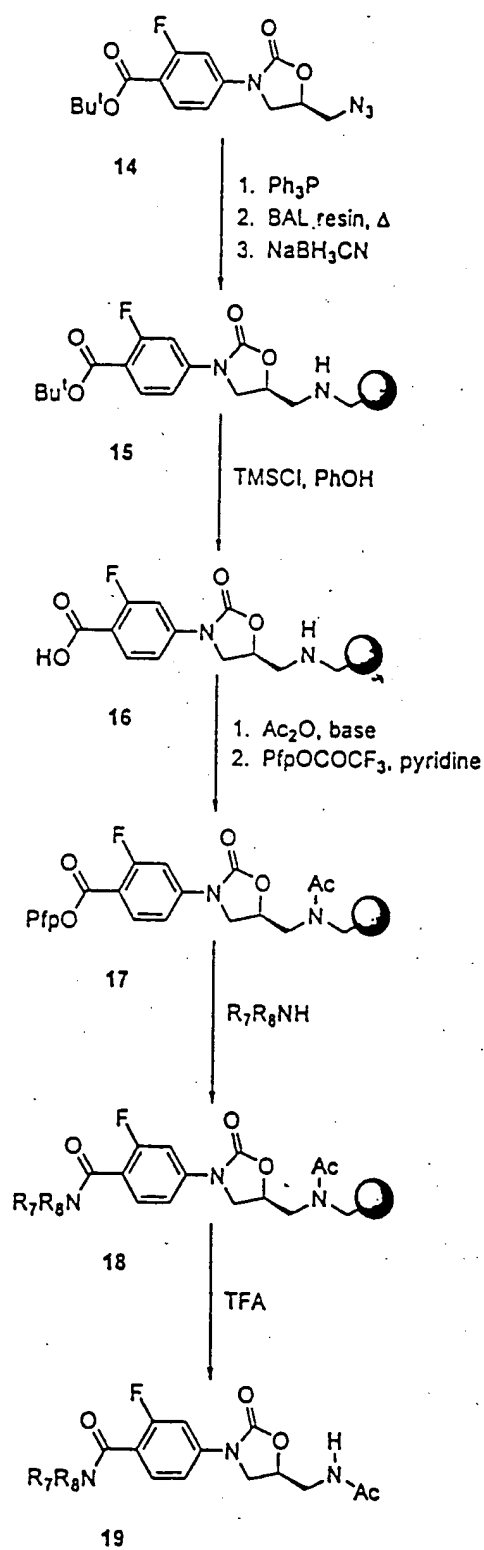
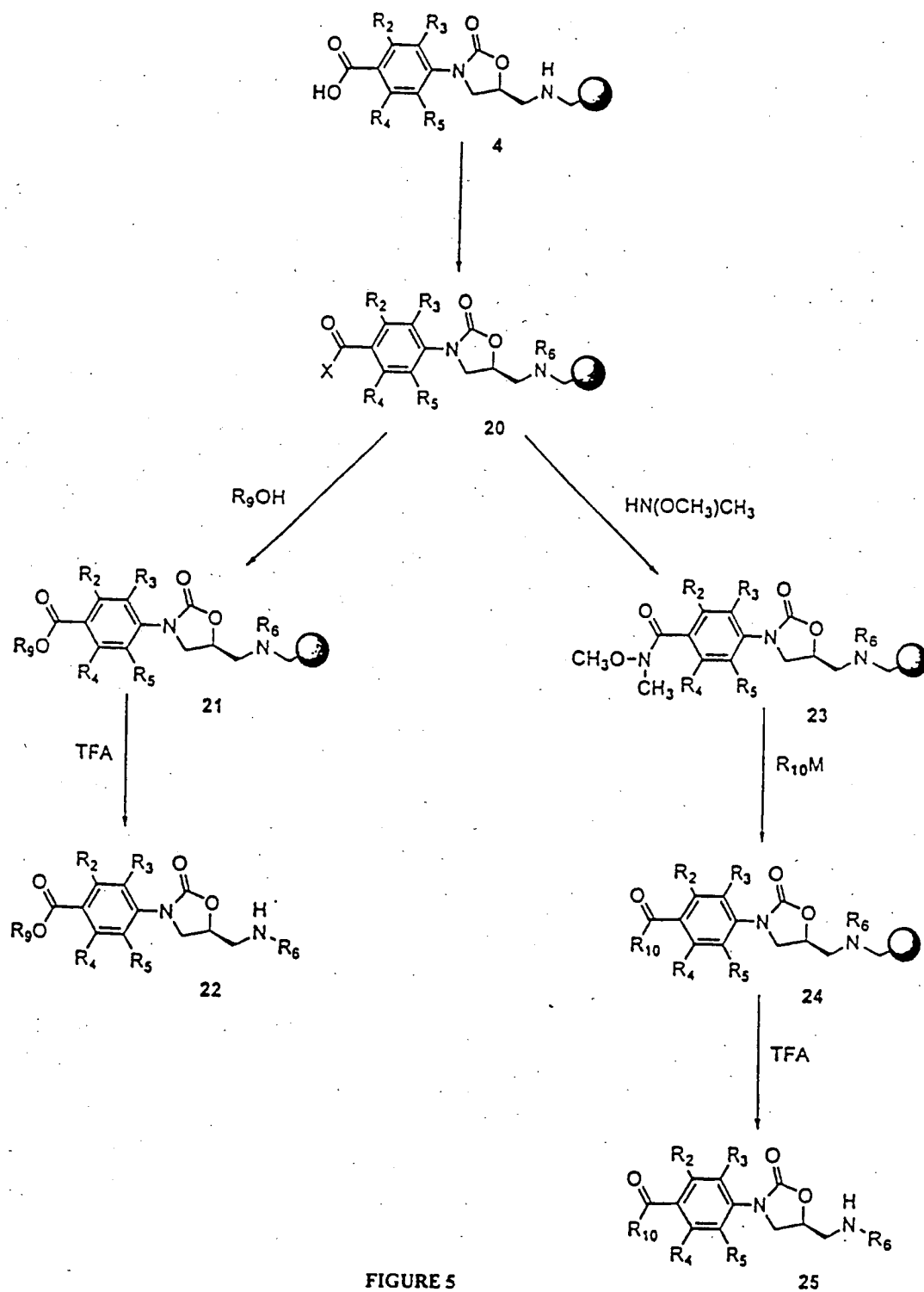


FIGURE 4

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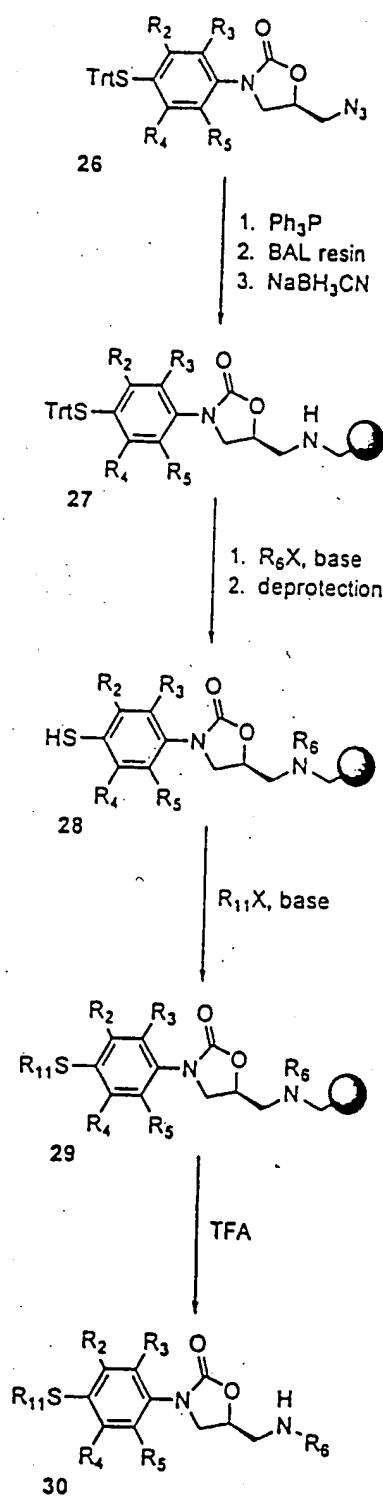


FIGURE 6

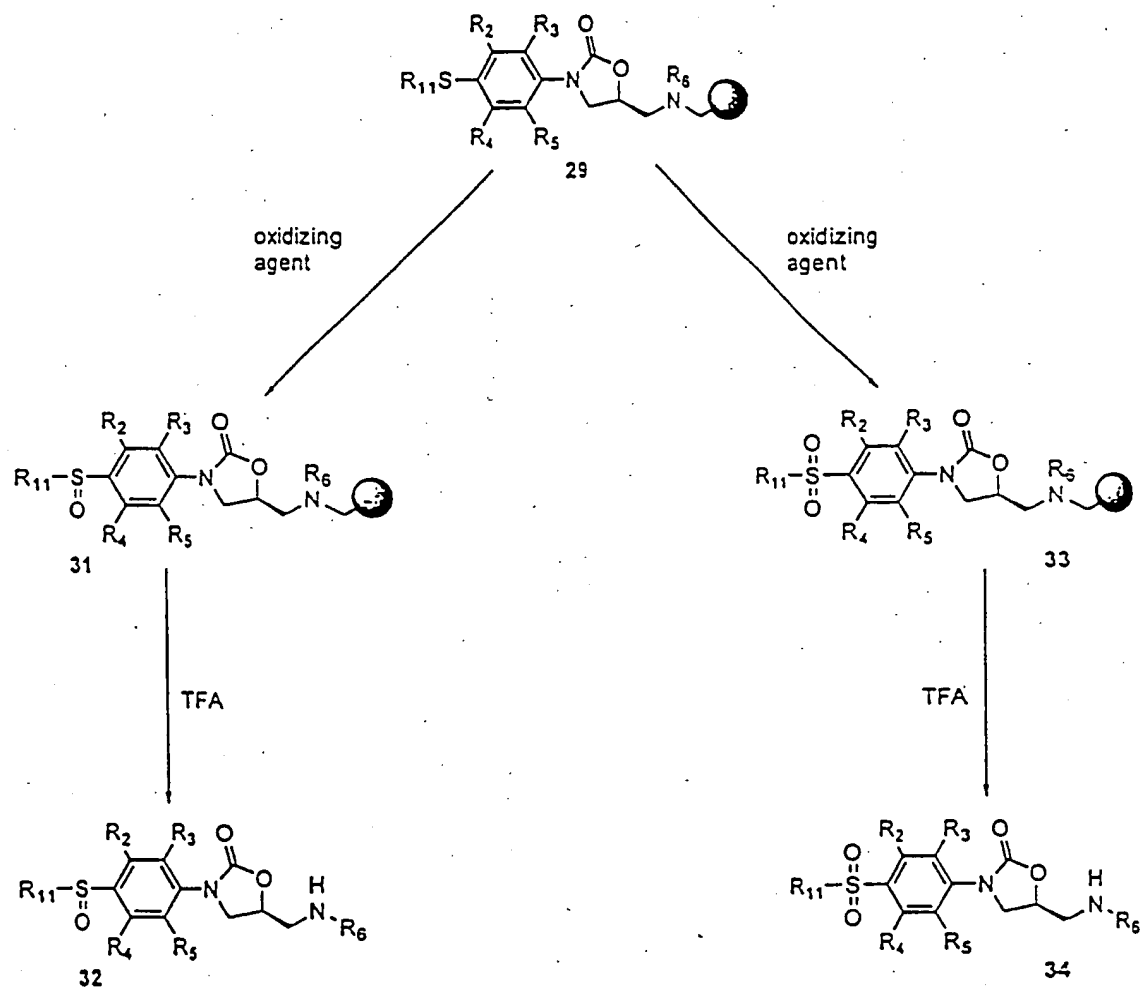


FIGURE 7

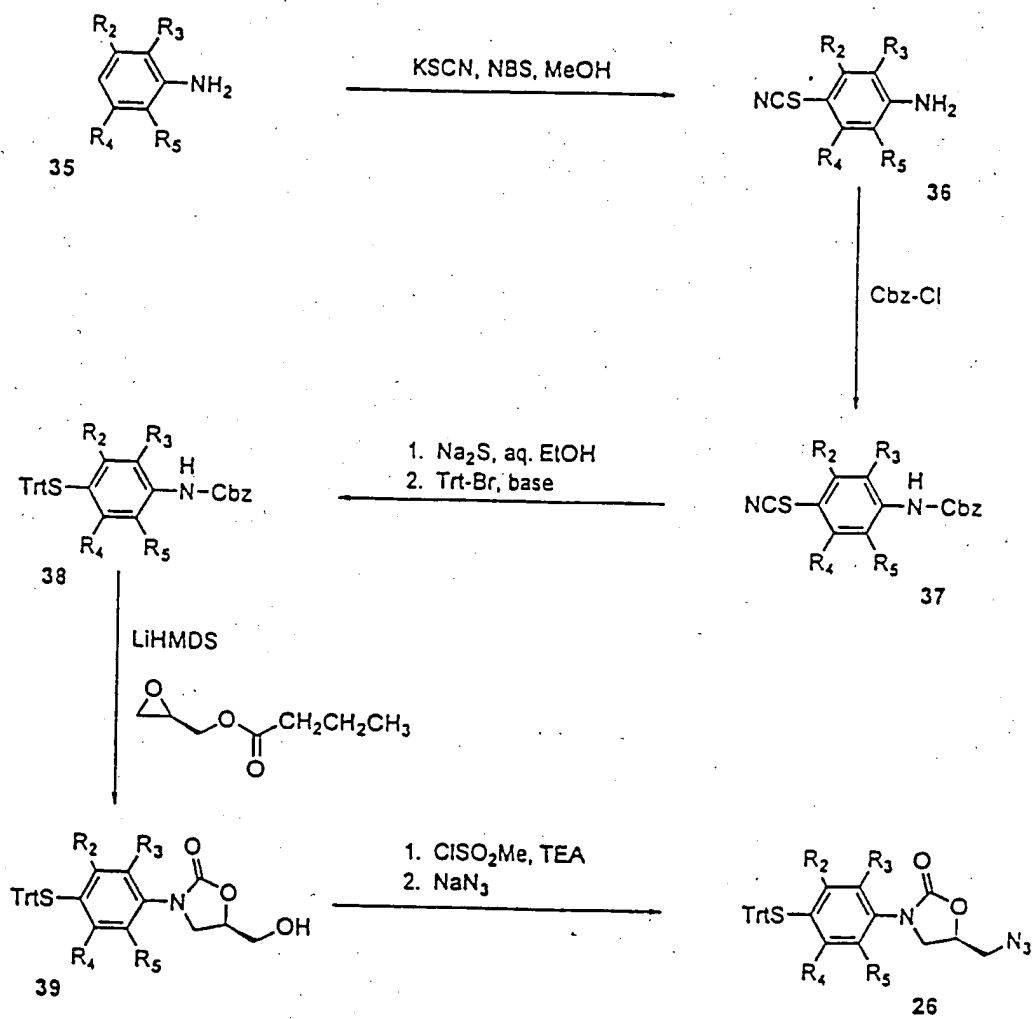


FIGURE 8

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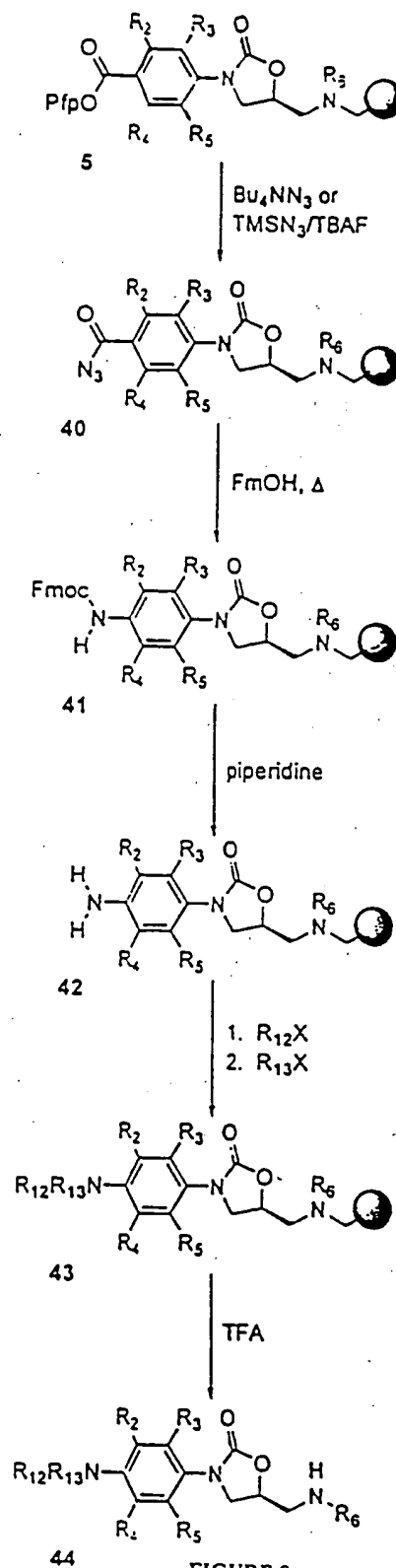


FIGURE 9

10 / 50

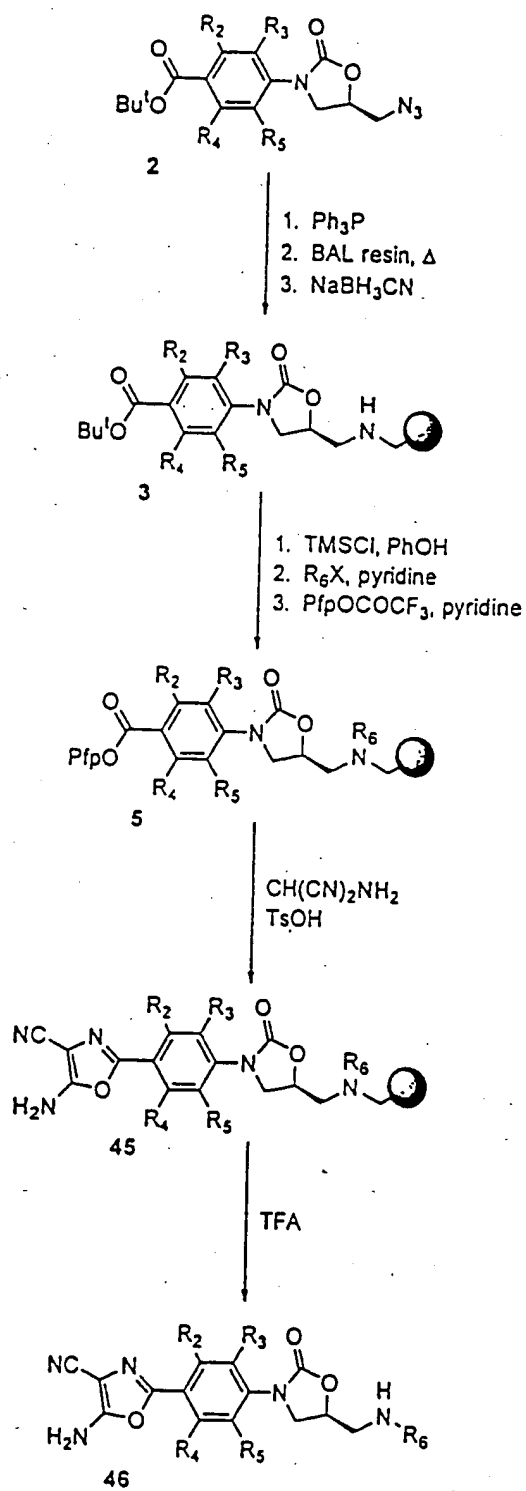


FIGURE 10

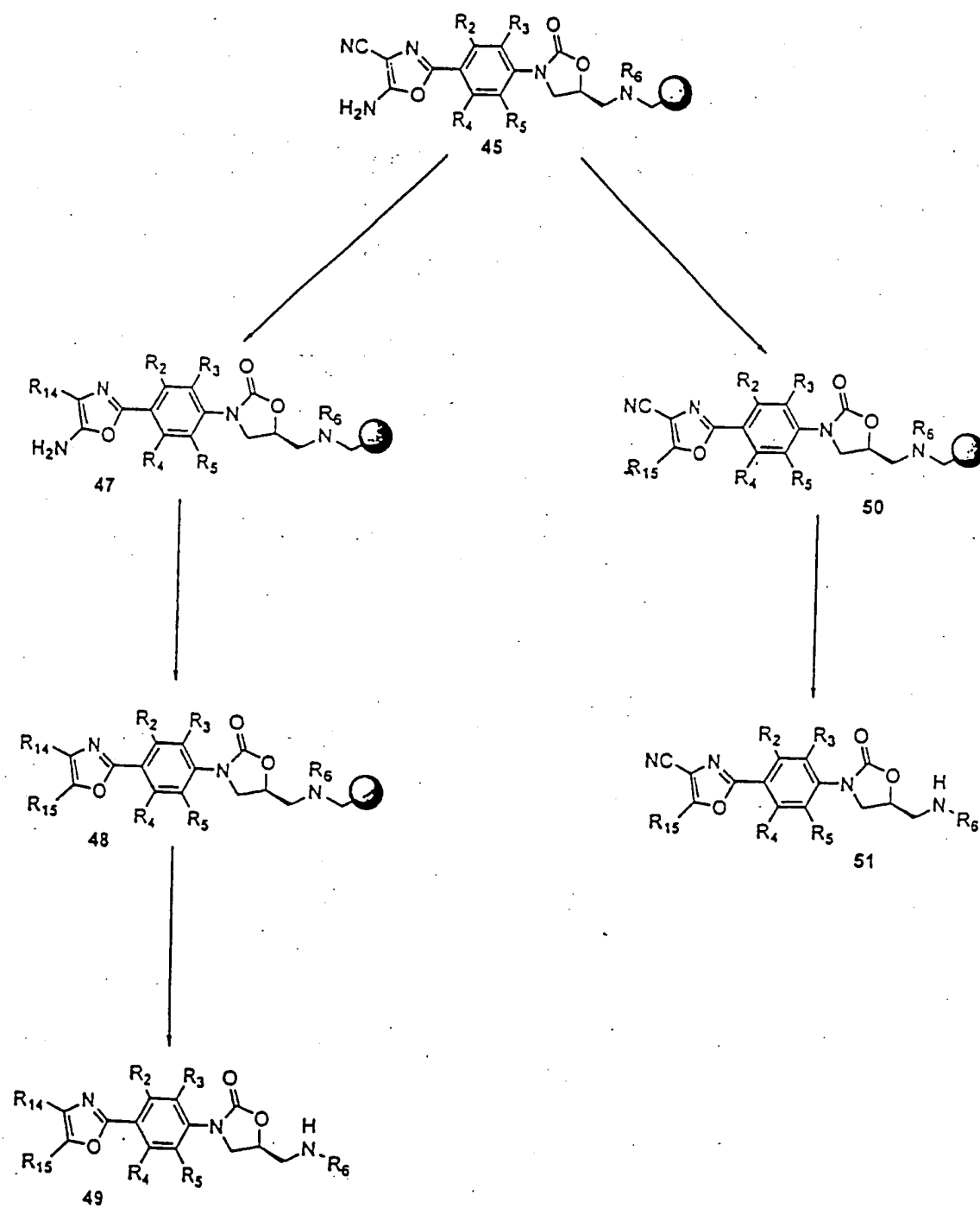


FIGURE 11

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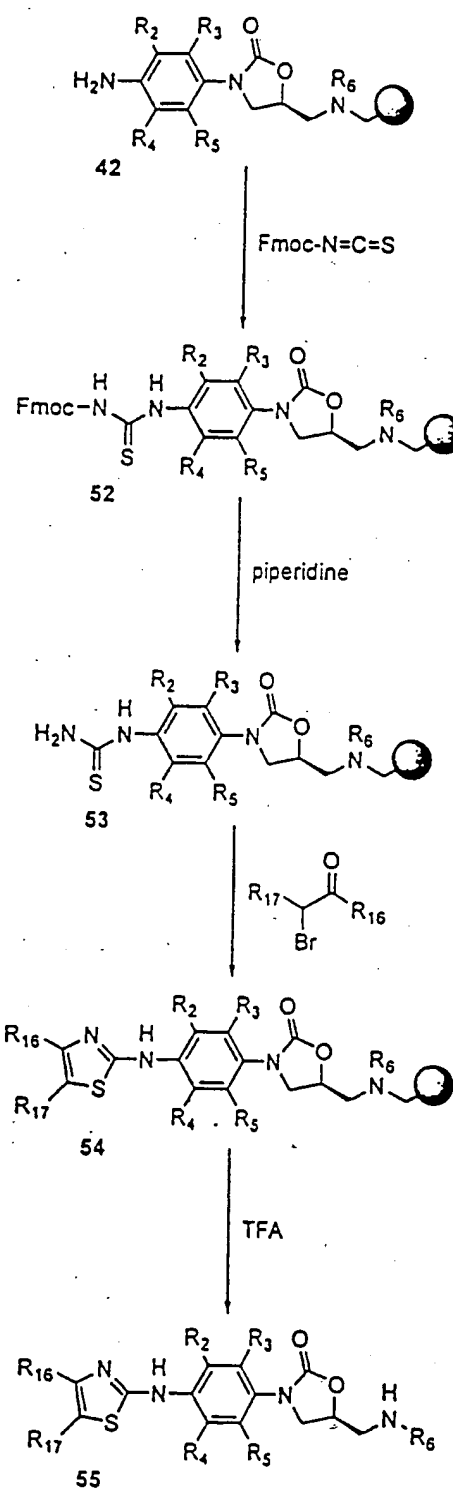


FIGURE 12

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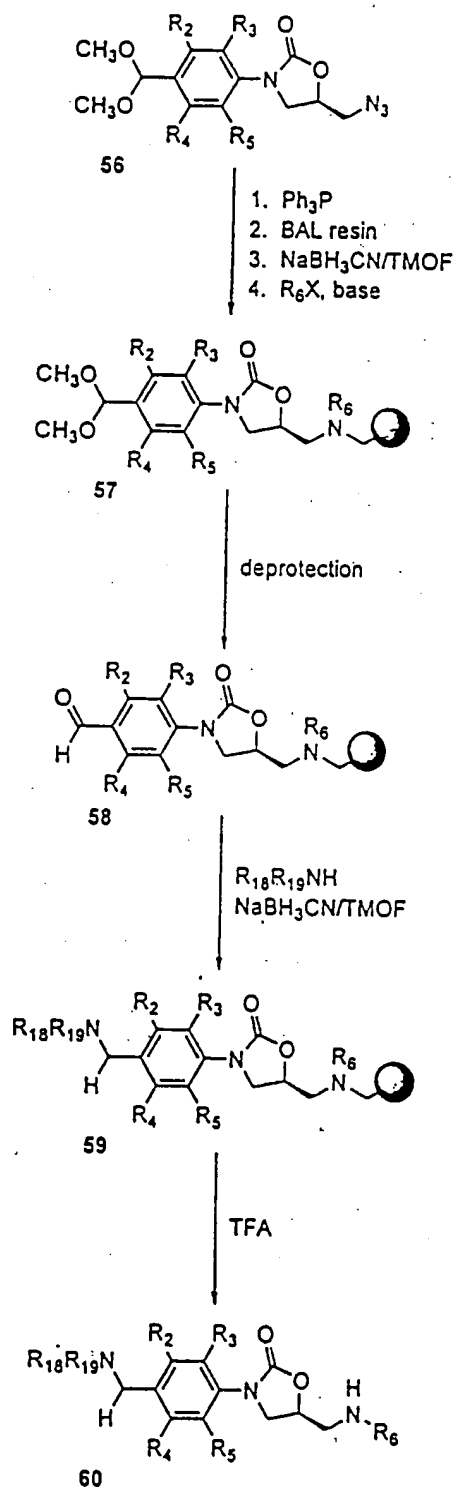


FIGURE 13

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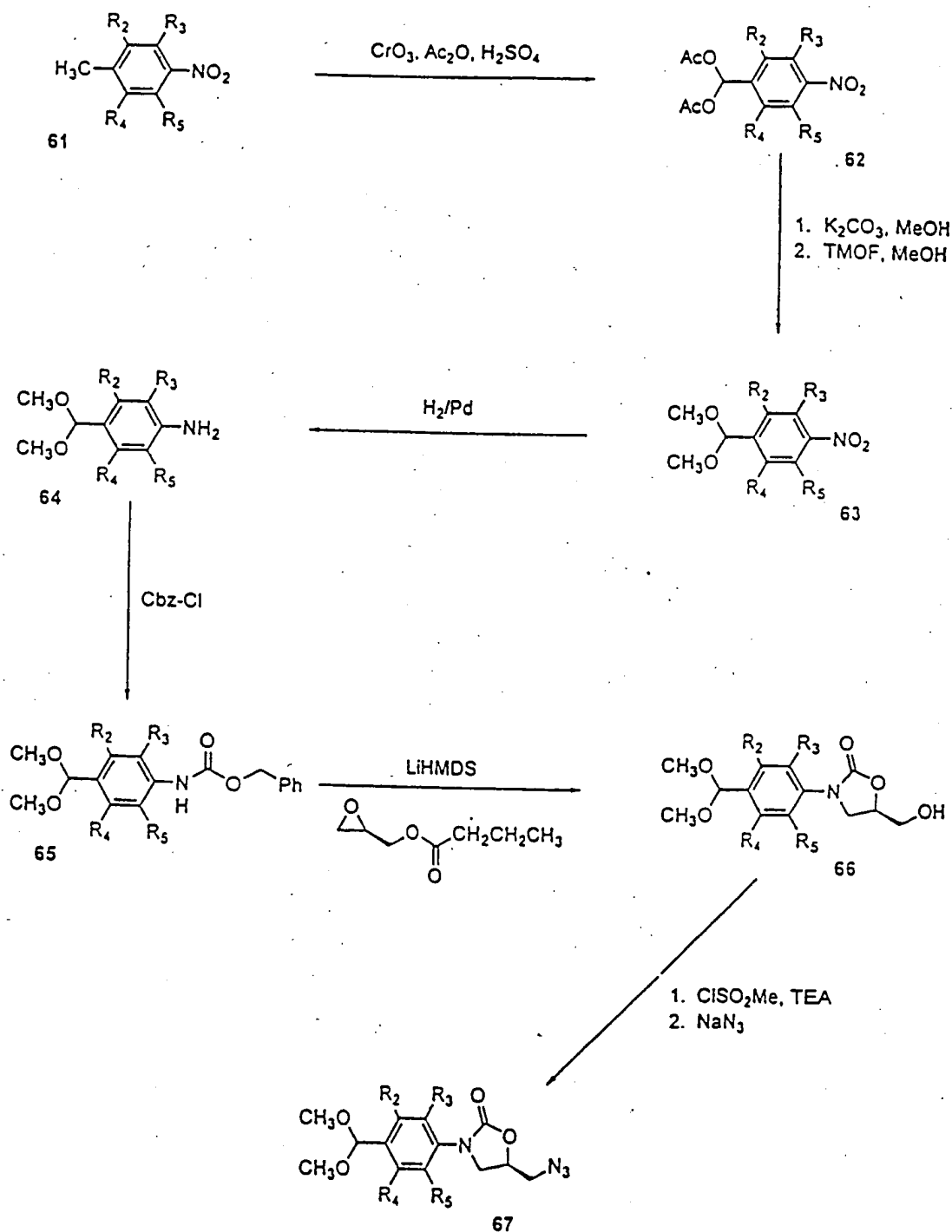


FIGURE 14

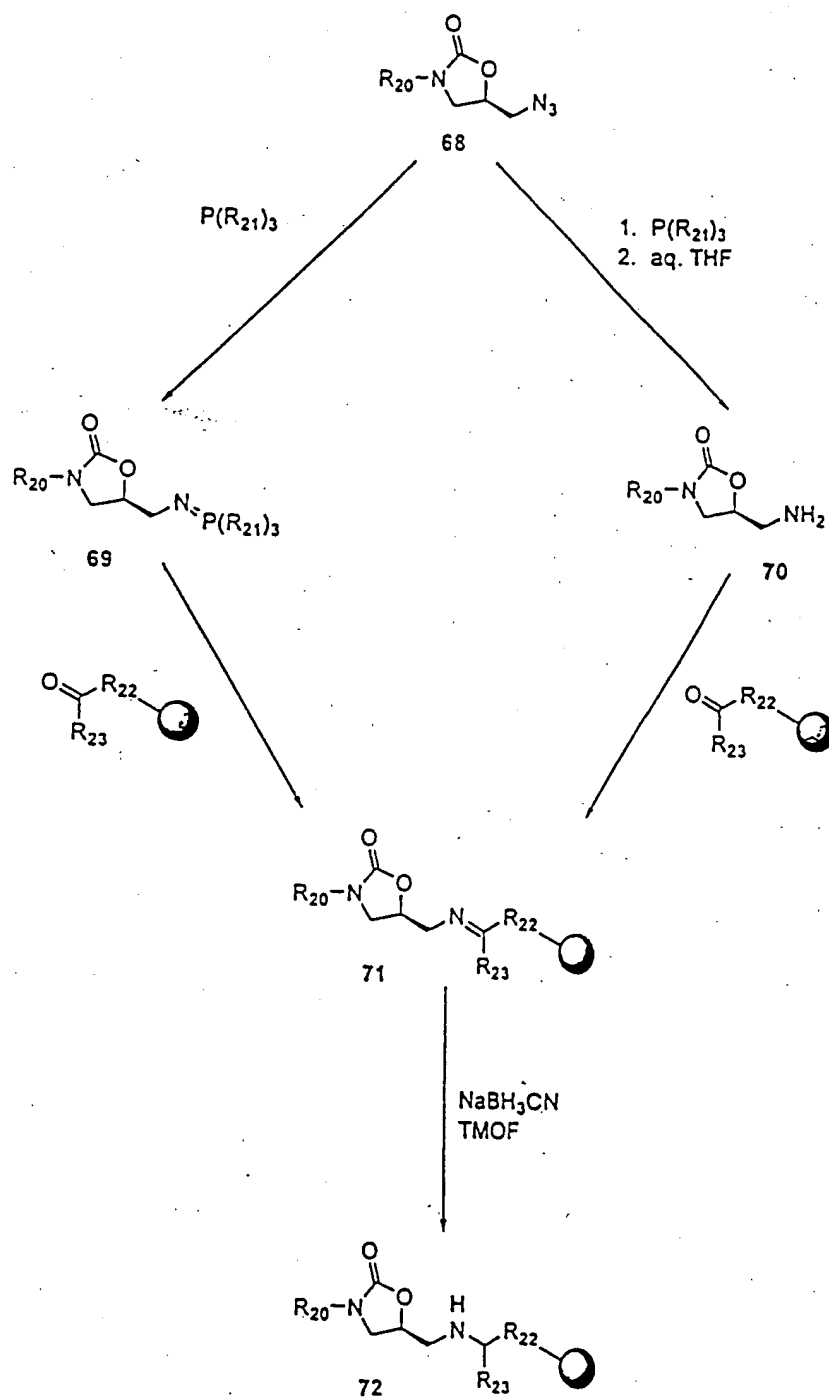


FIGURE 15

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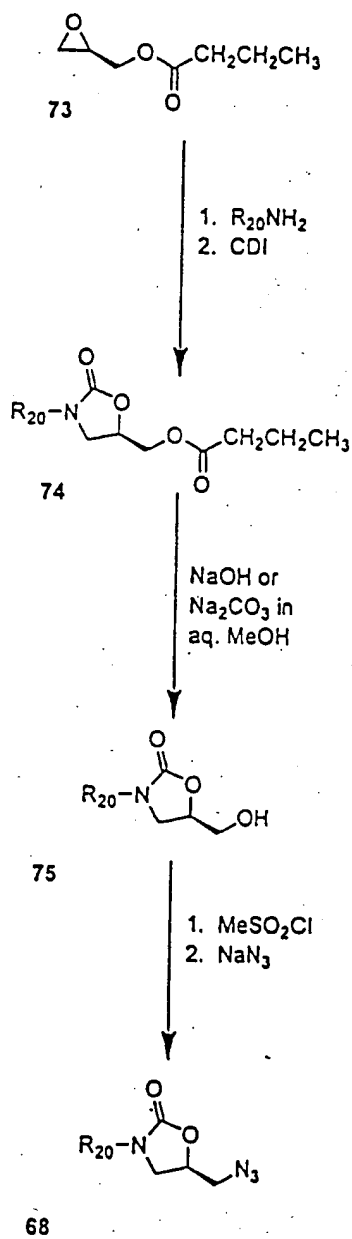


FIGURE 16

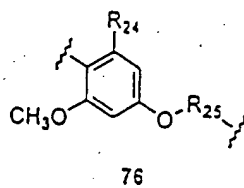


FIGURE 17

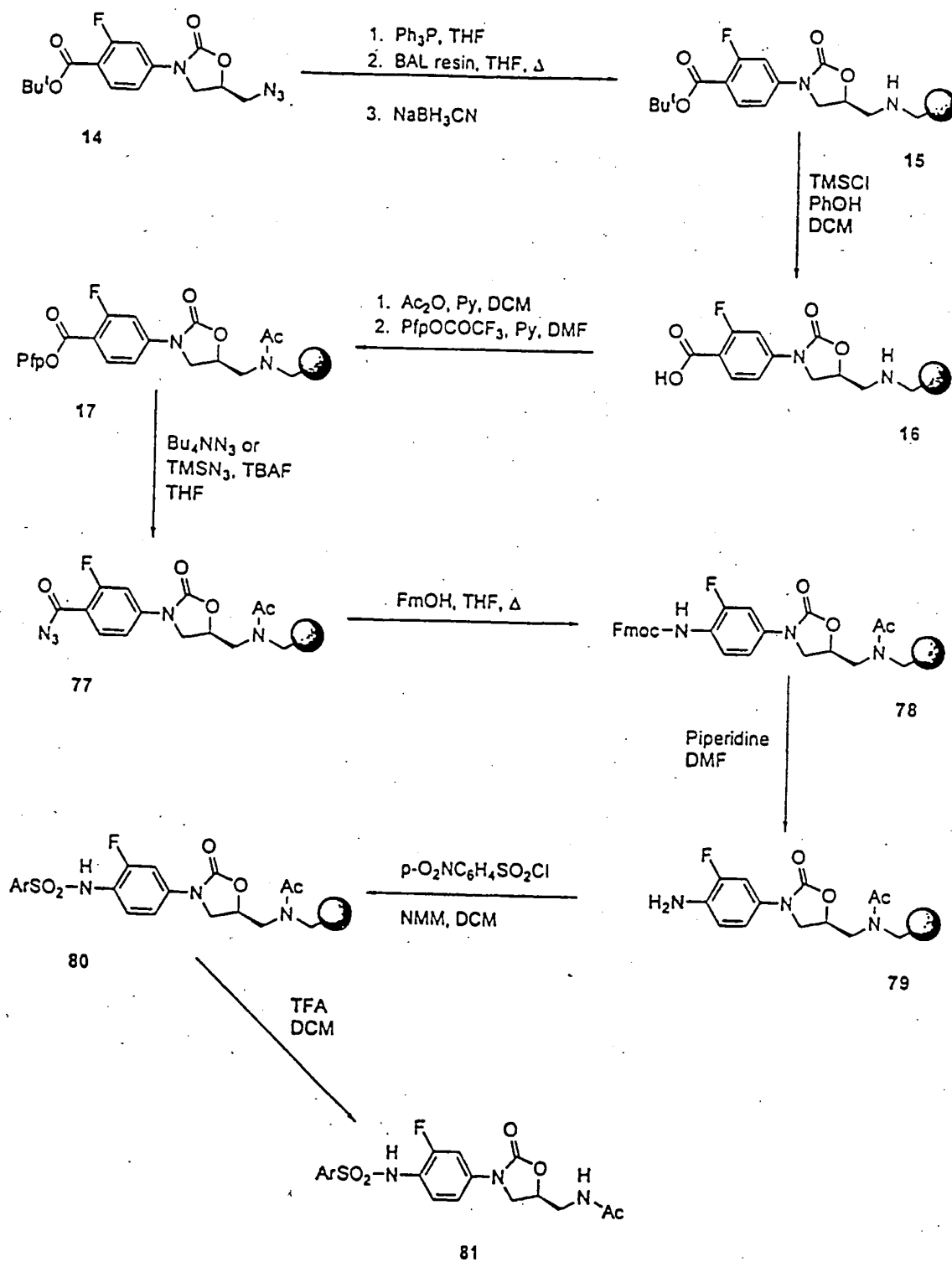


FIGURE 18

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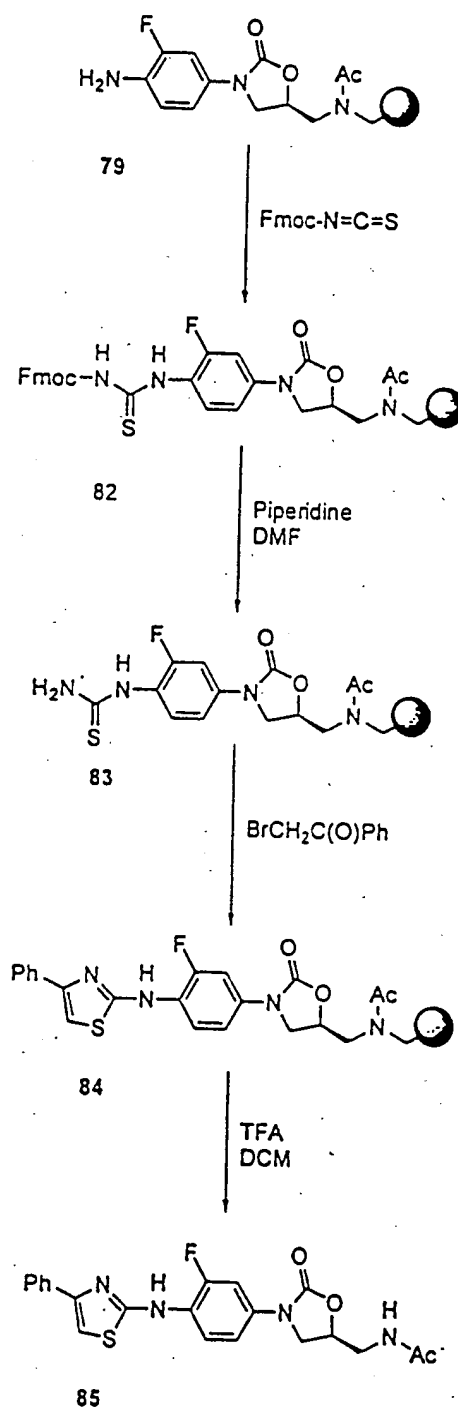


FIGURE 19

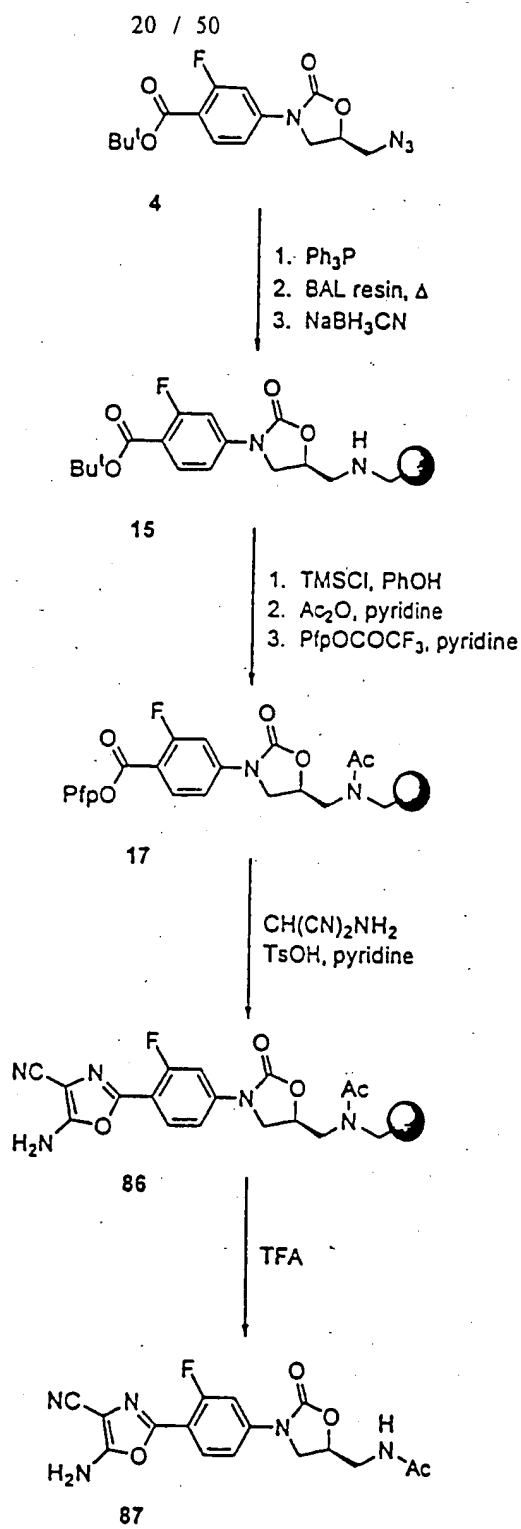


FIGURE 20

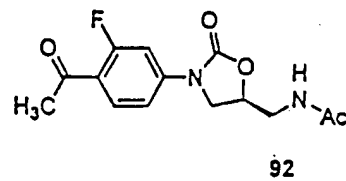
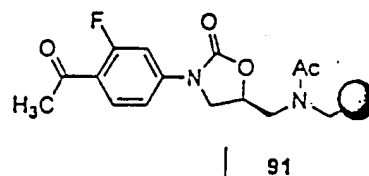
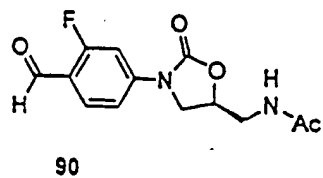
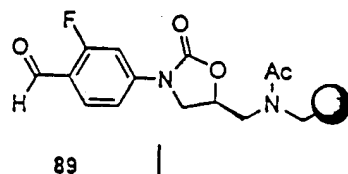
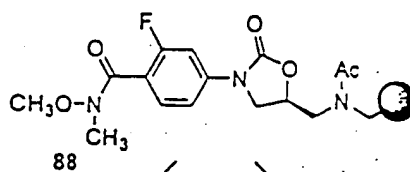


FIGURE 21

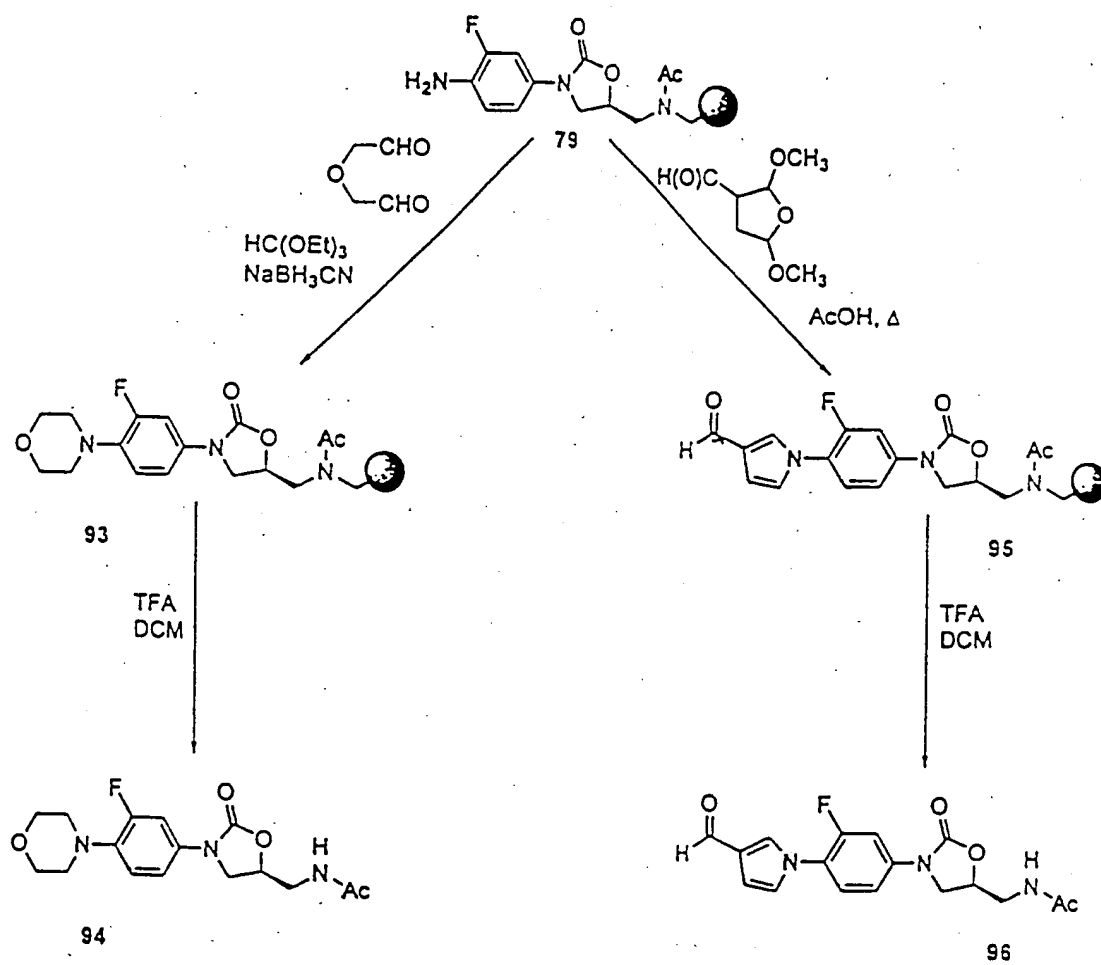


FIGURE 22

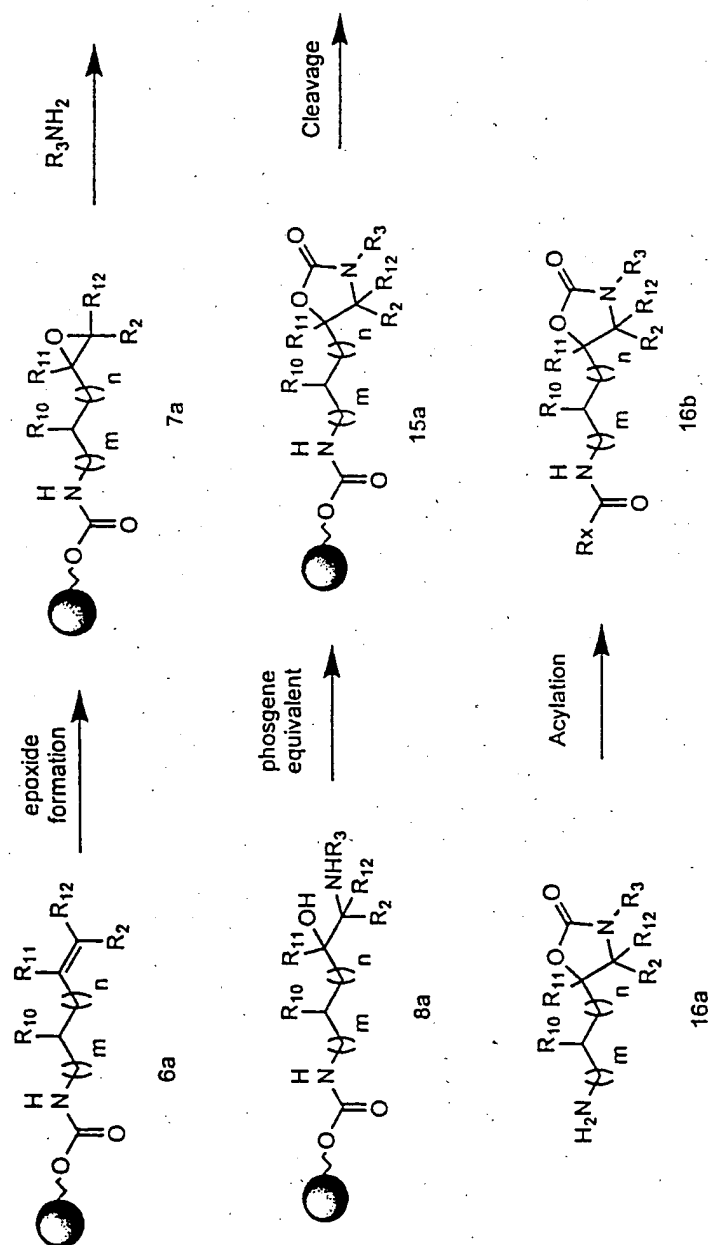


FIGURE 23

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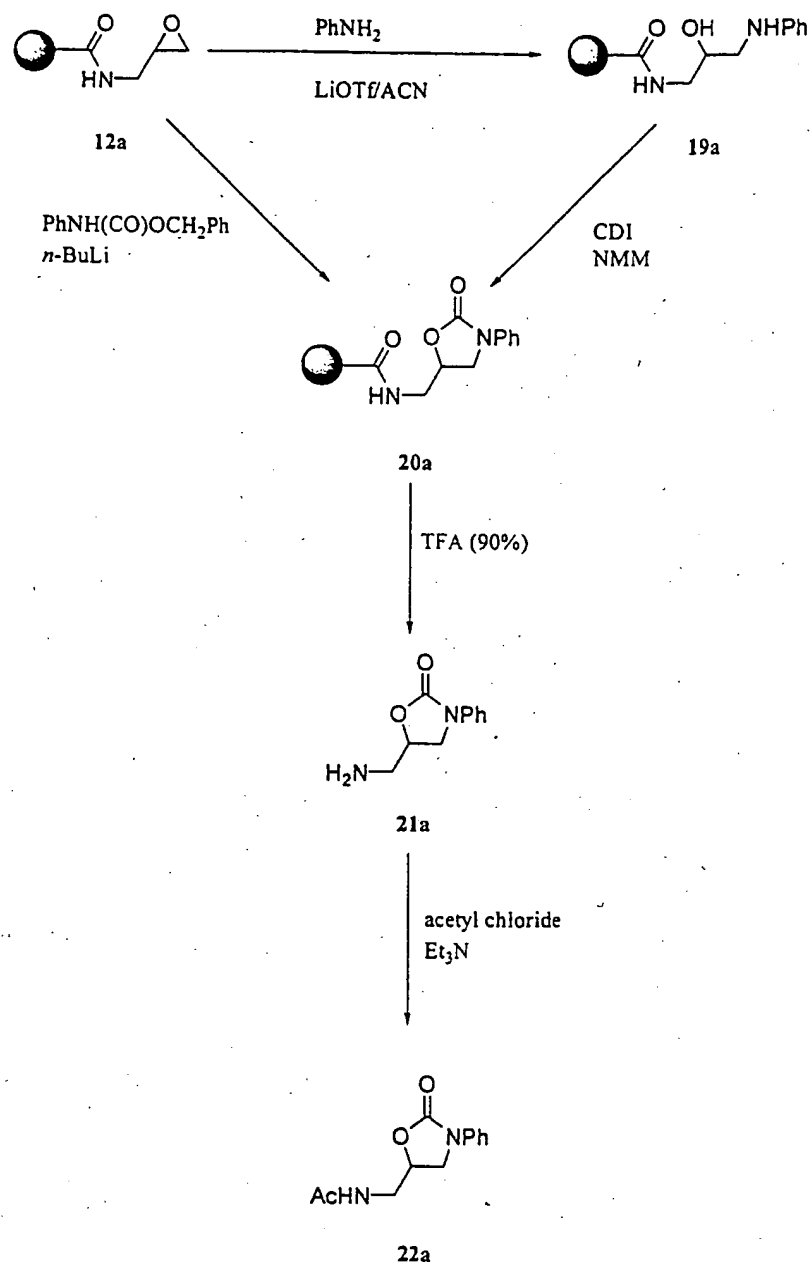


FIGURE 24

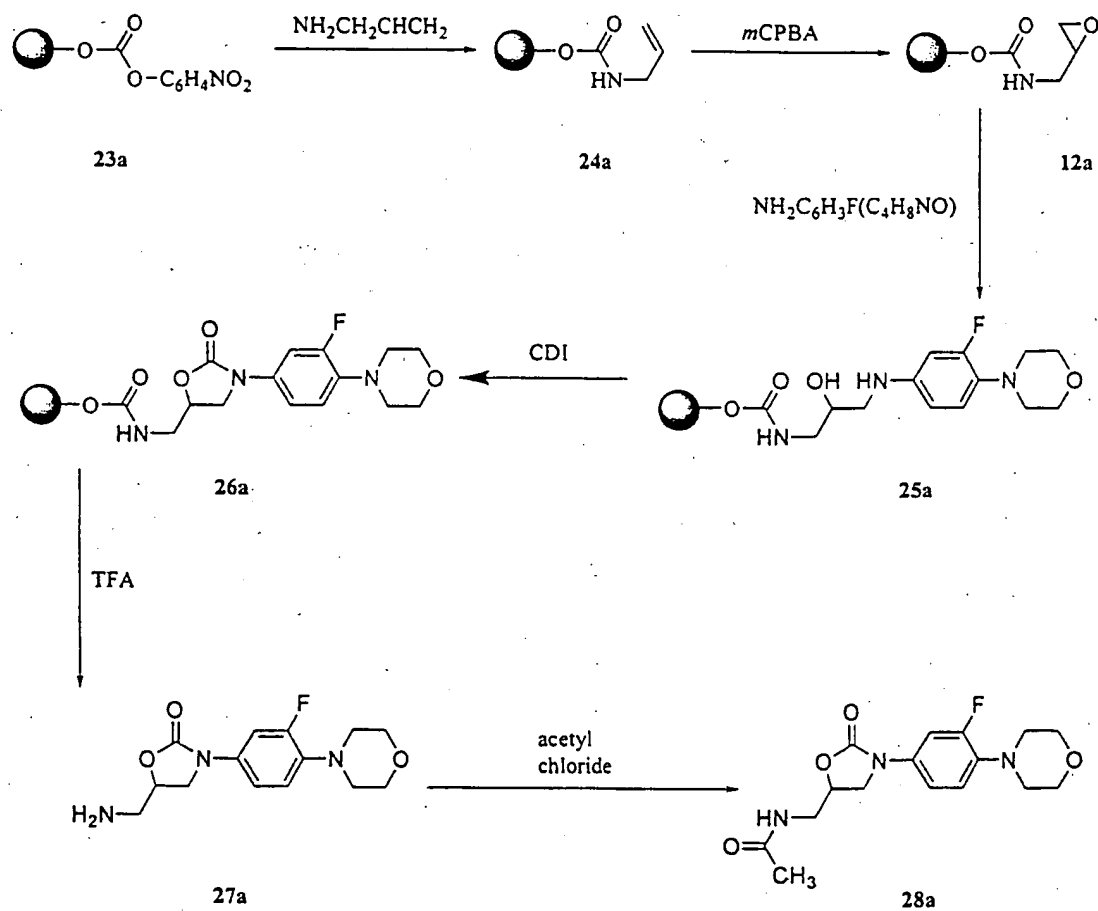


FIGURE 25

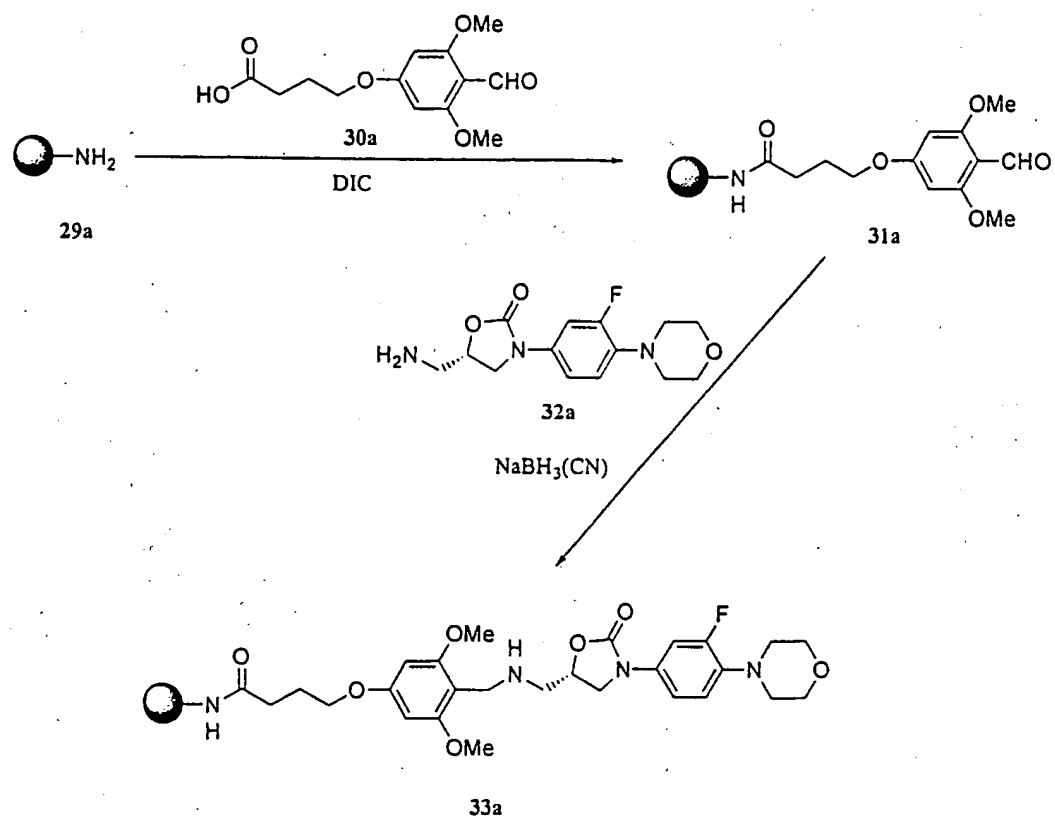


FIGURE 26

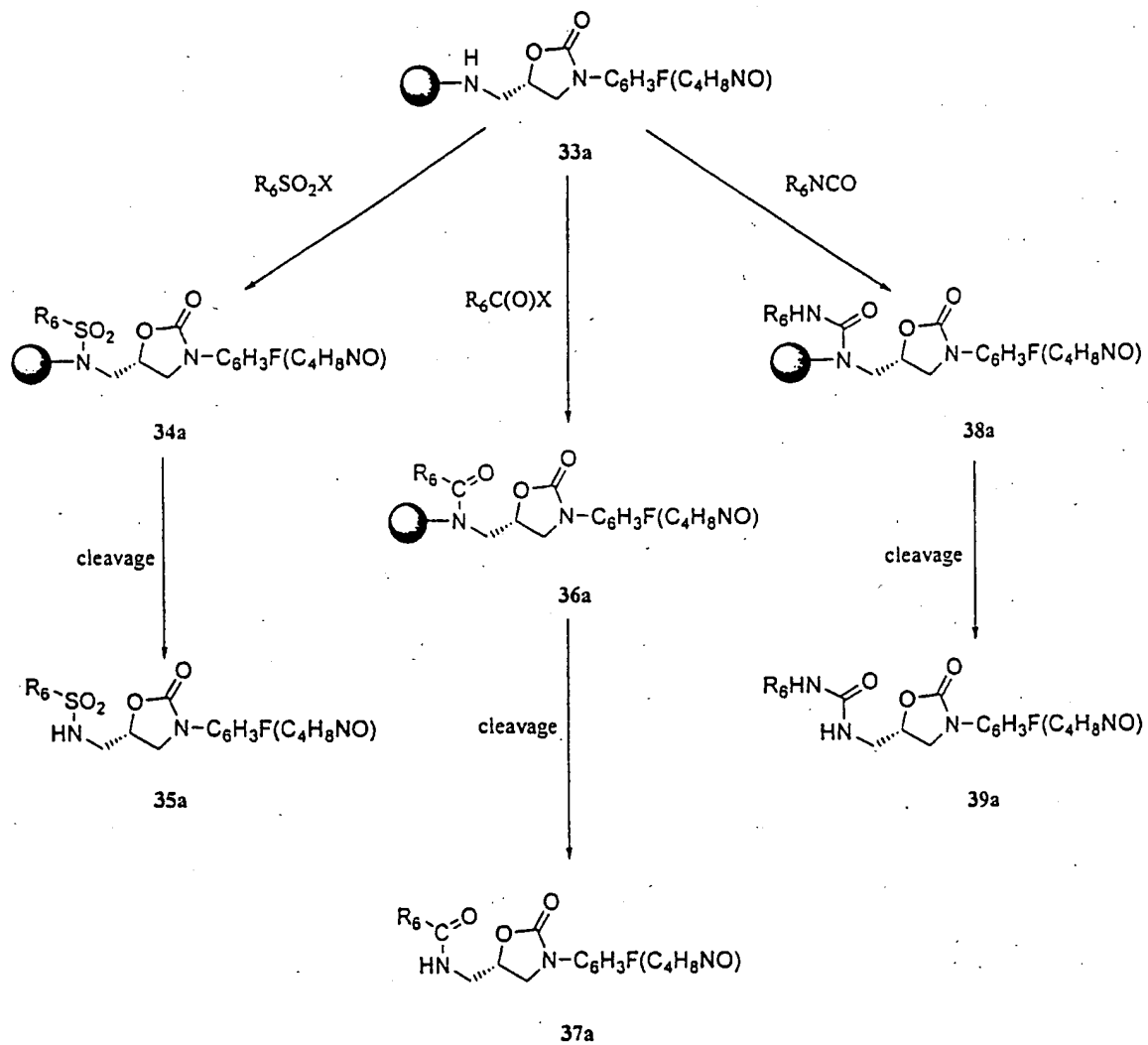


FIGURE 27

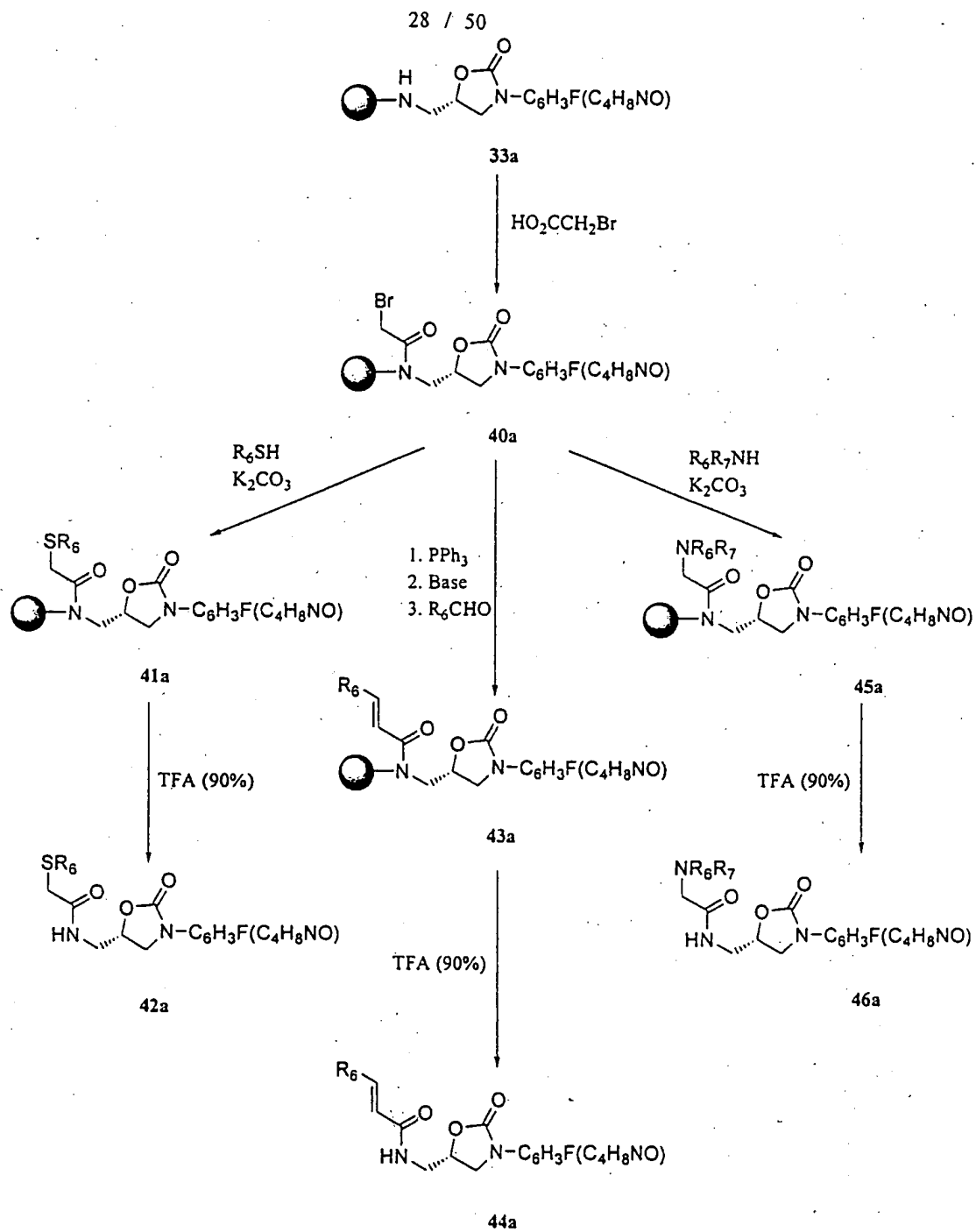


FIGURE 28

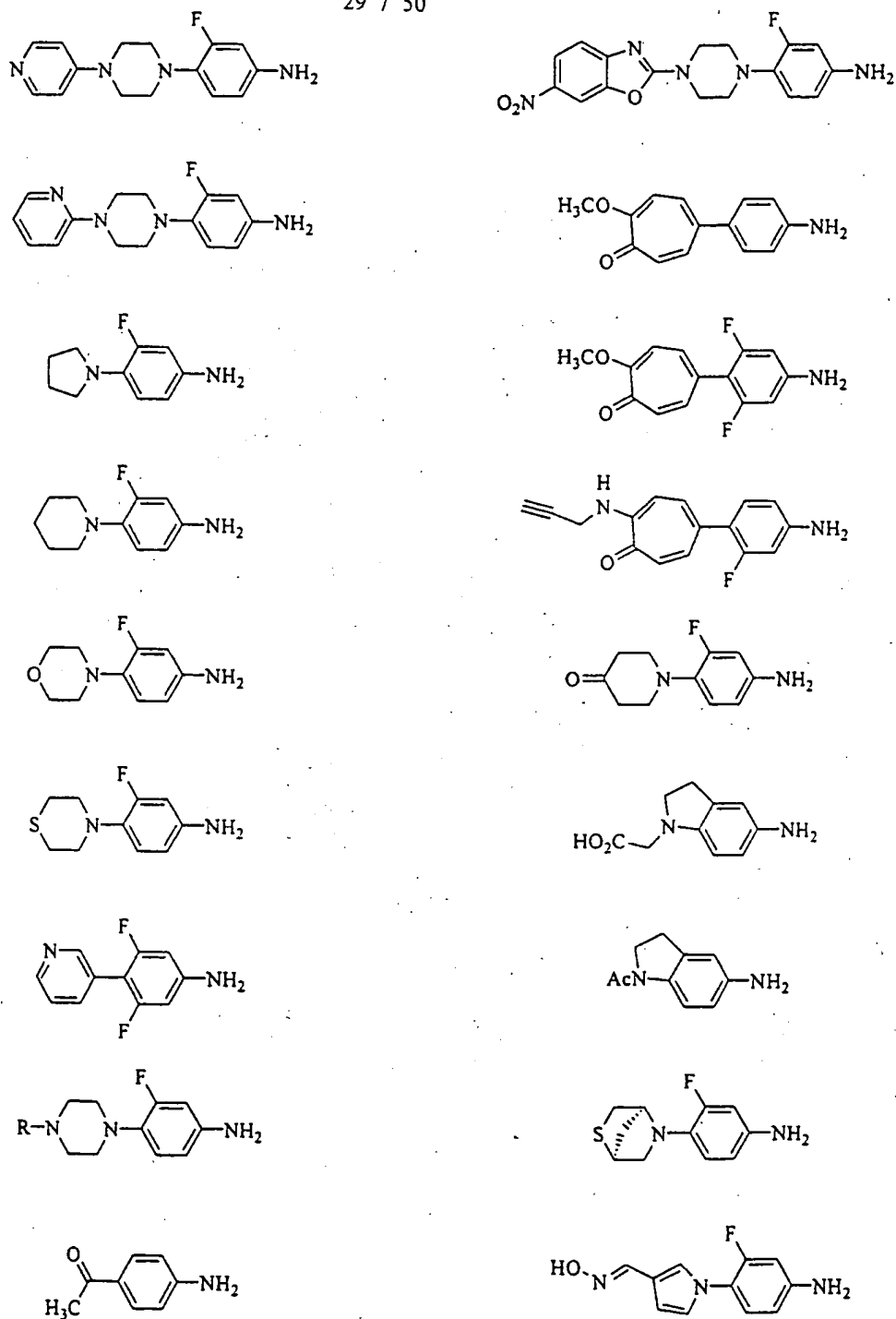


FIGURE 29

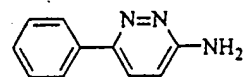
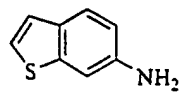
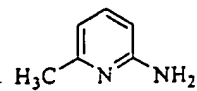
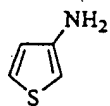
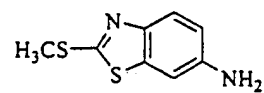
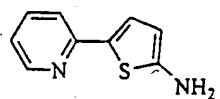
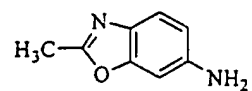
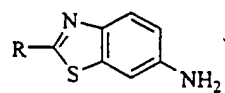
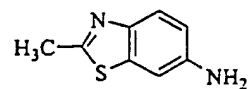
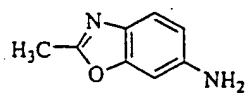
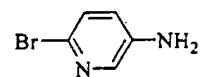
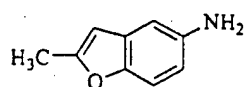
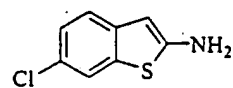
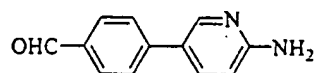
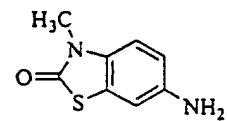
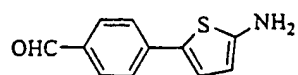
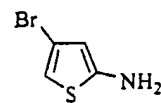
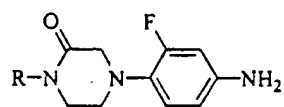


FIGURE 30

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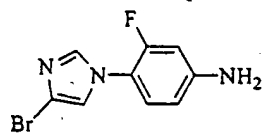
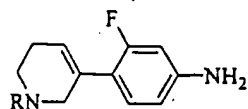
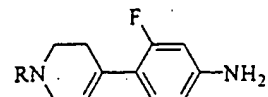
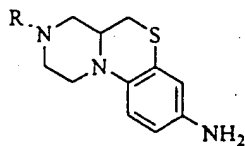
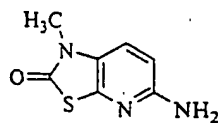
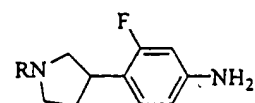
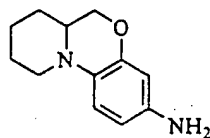
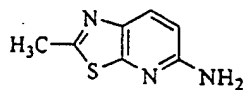
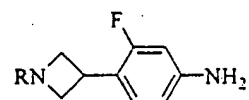
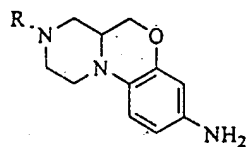
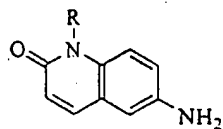
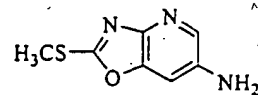
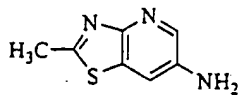
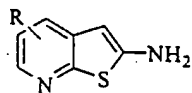
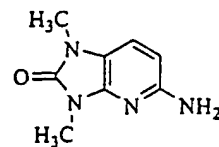
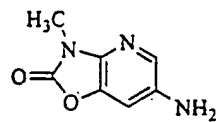
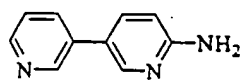


FIGURE 31

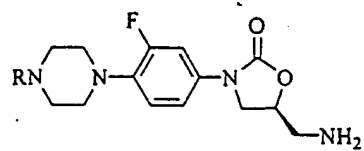
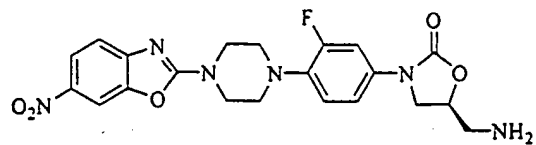
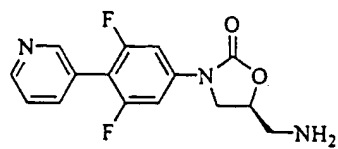
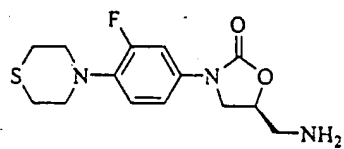
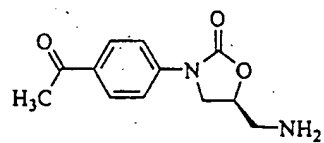
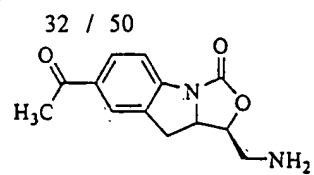
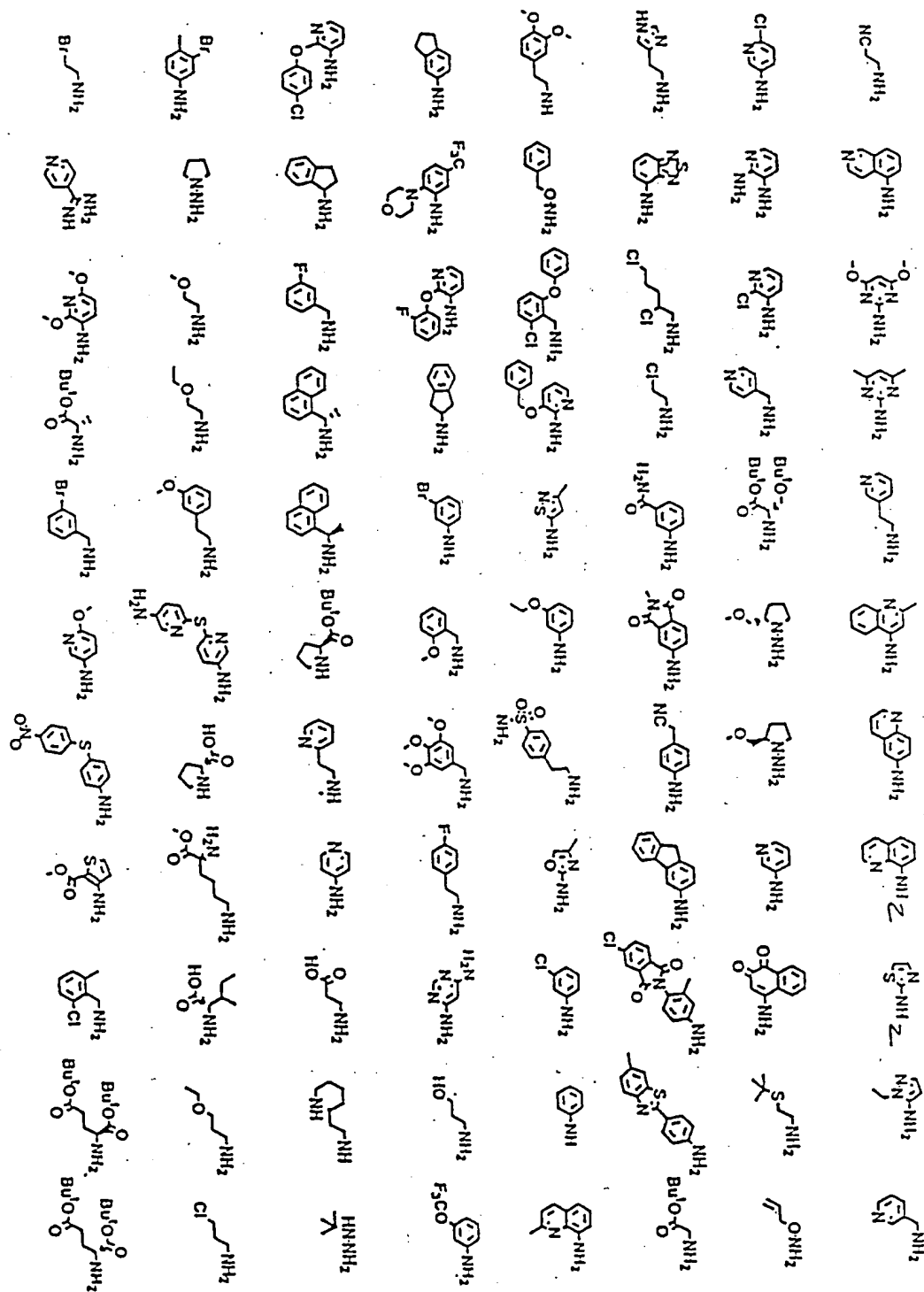


FIGURE 32



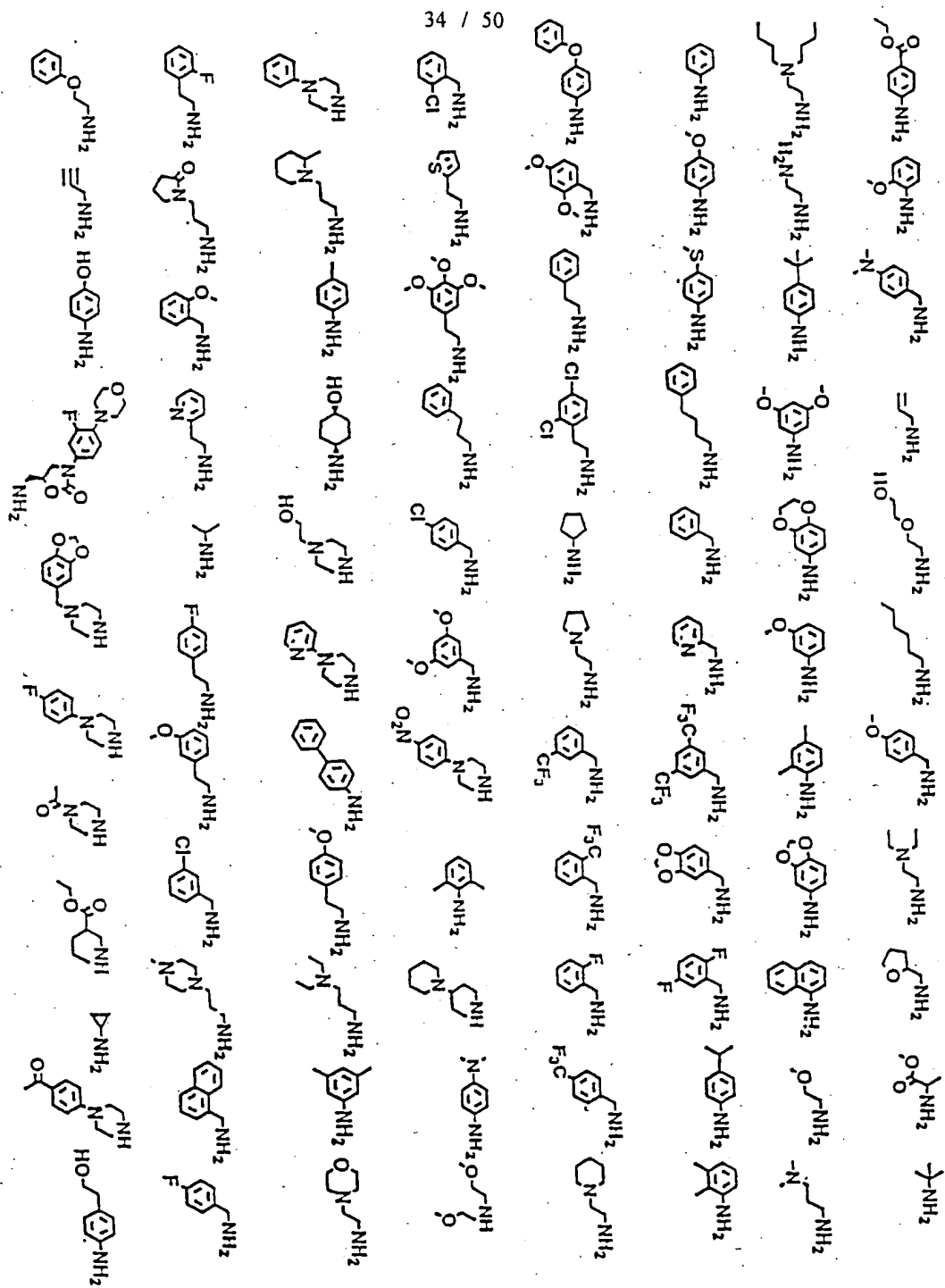


FIGURE 34

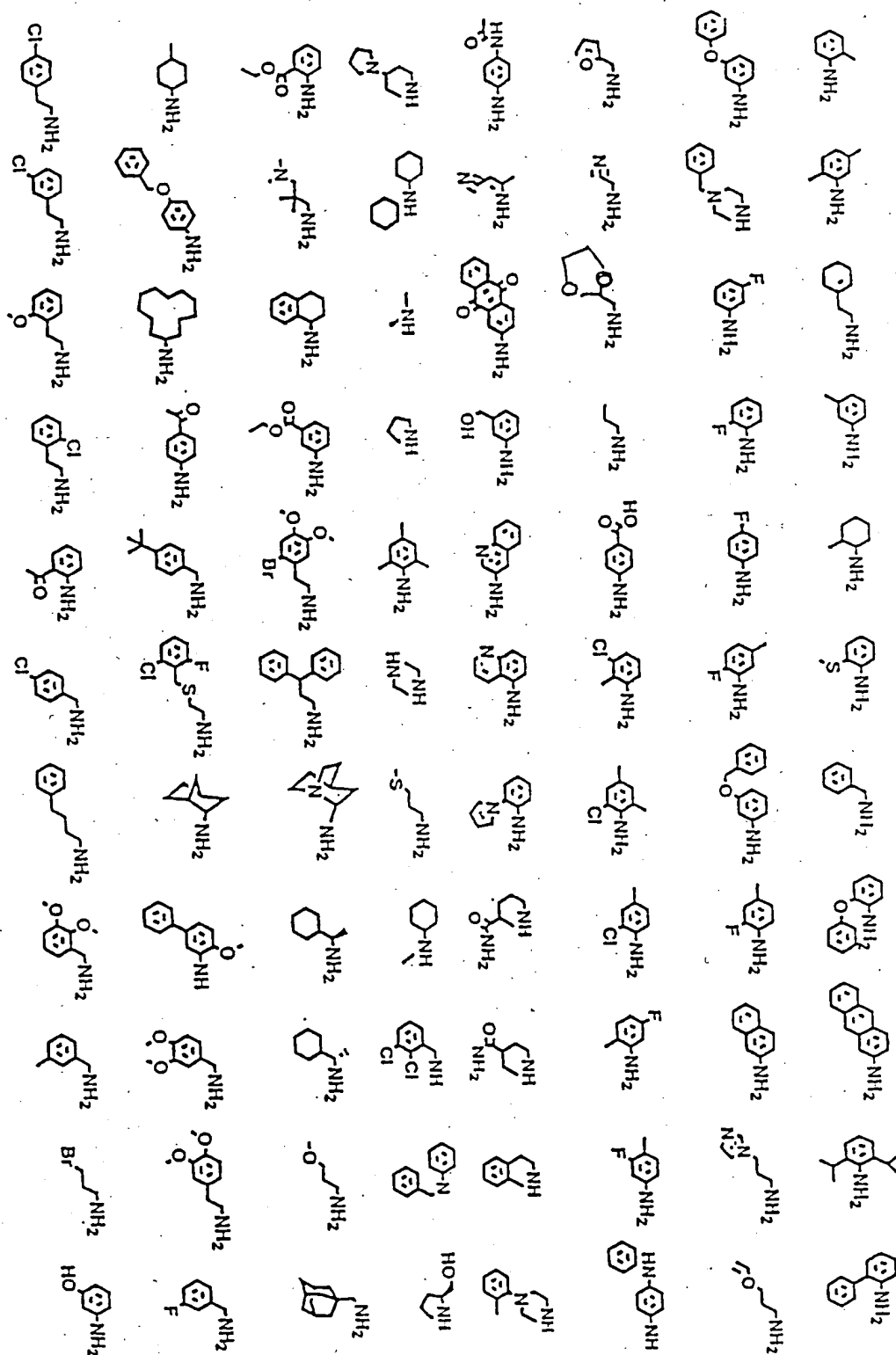


FIGURE 35

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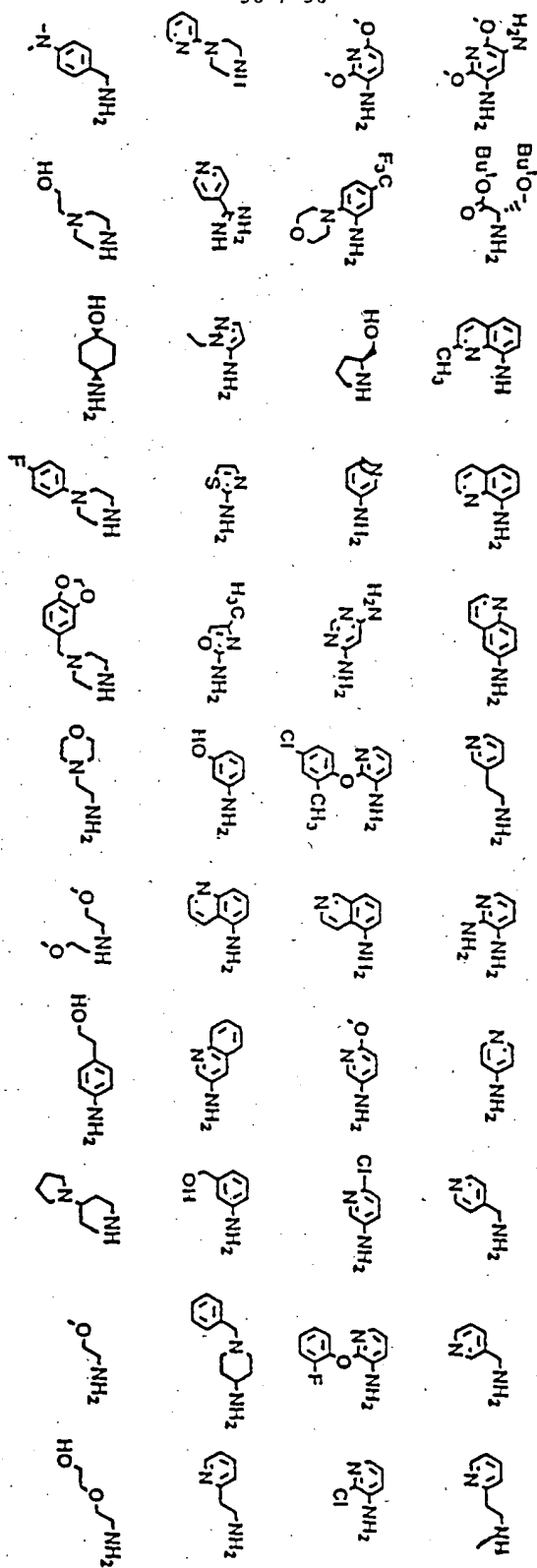


FIGURE 36

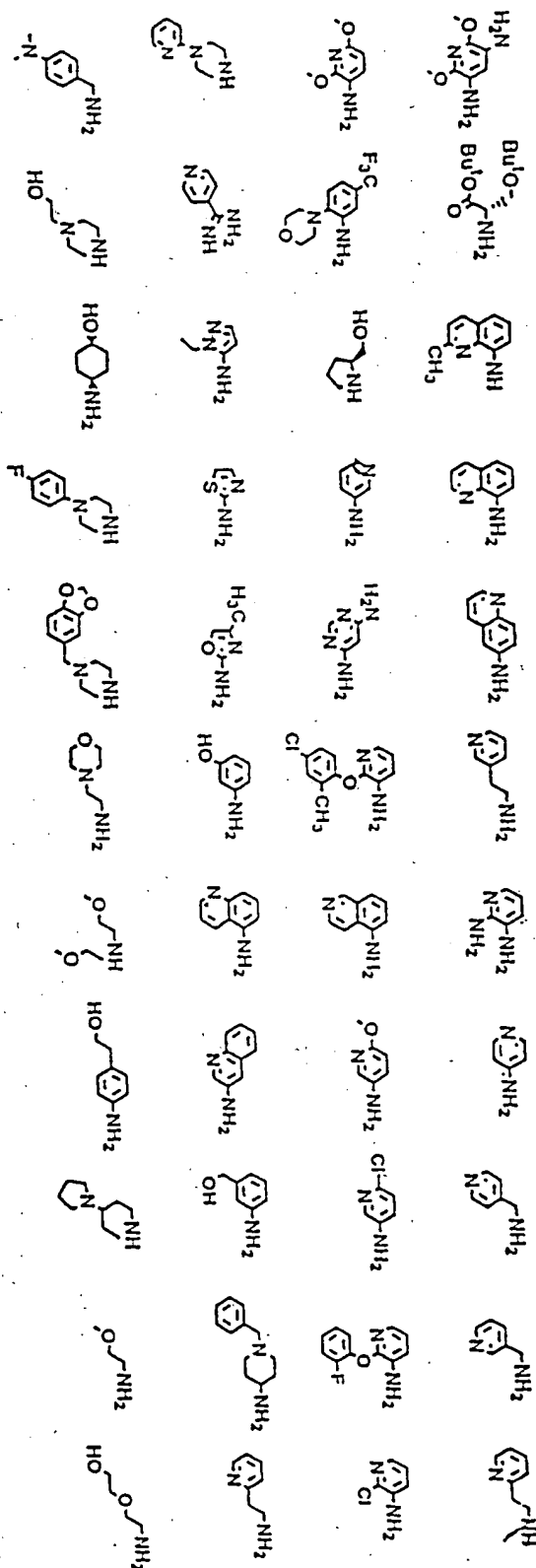


FIGURE 37

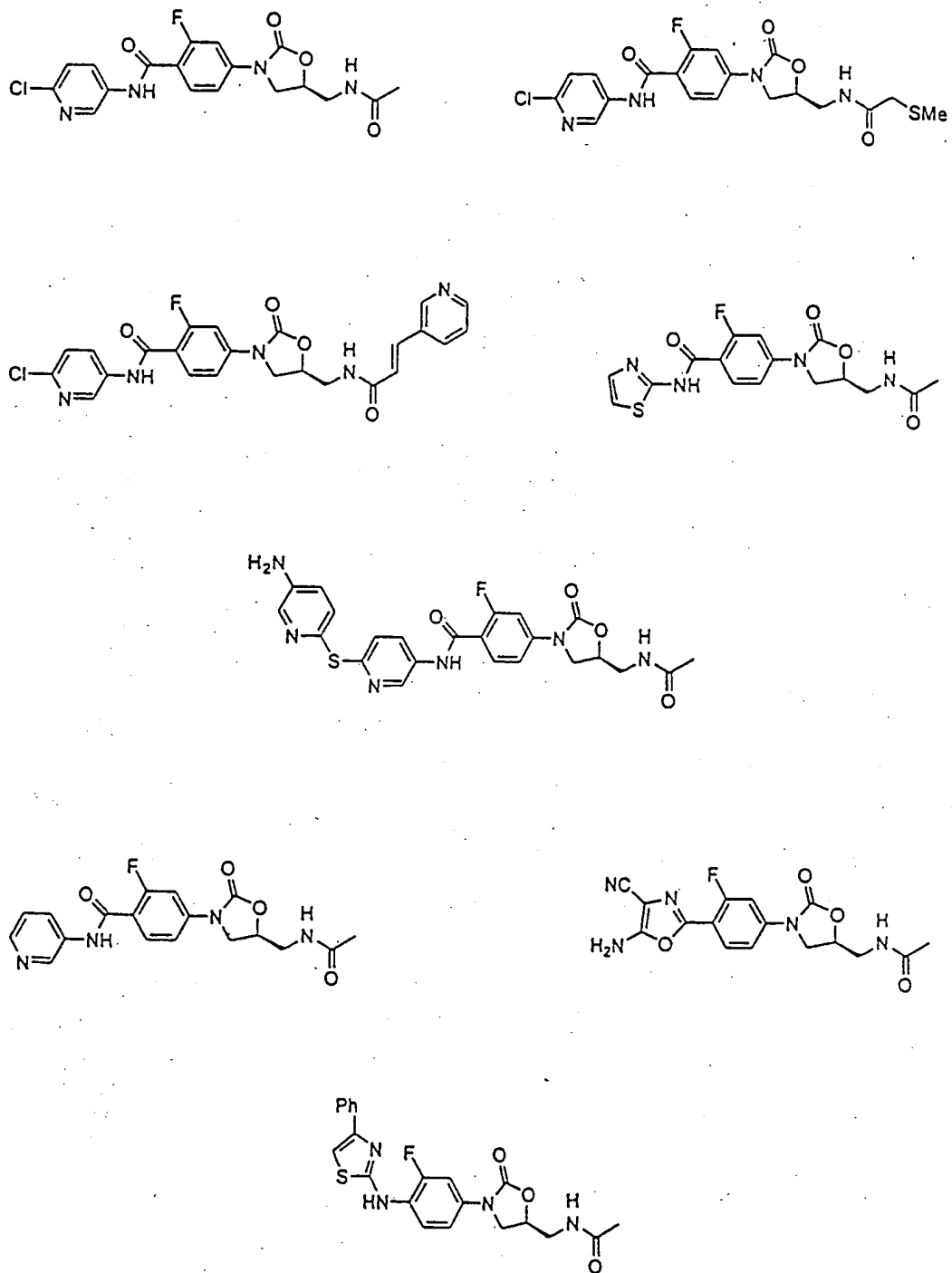


FIGURE 38

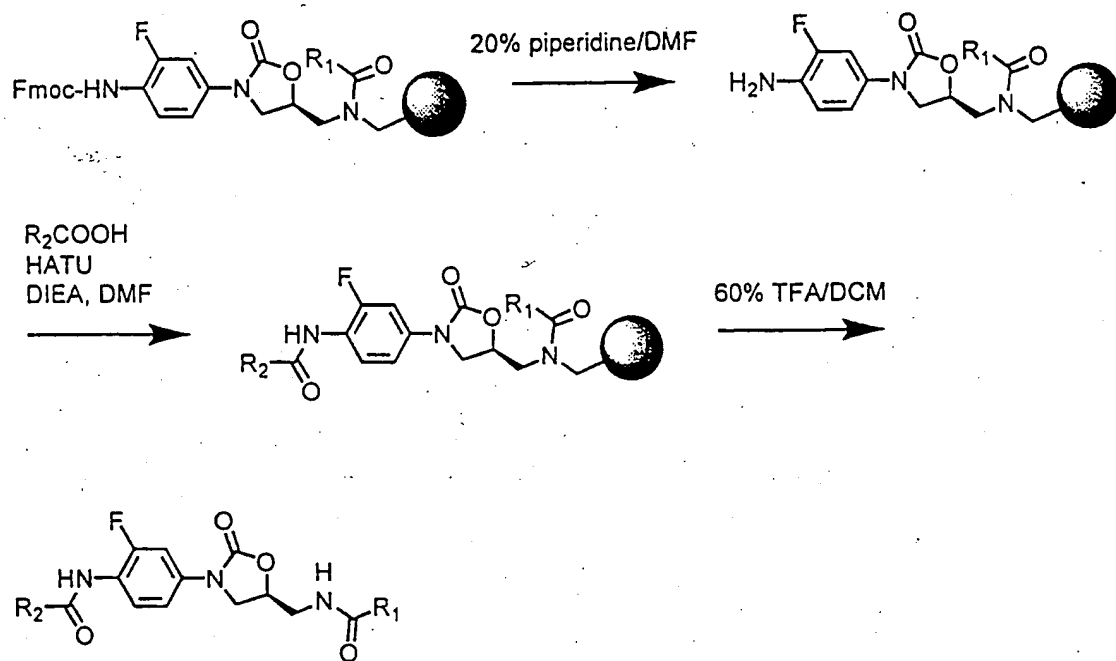


FIGURE 39

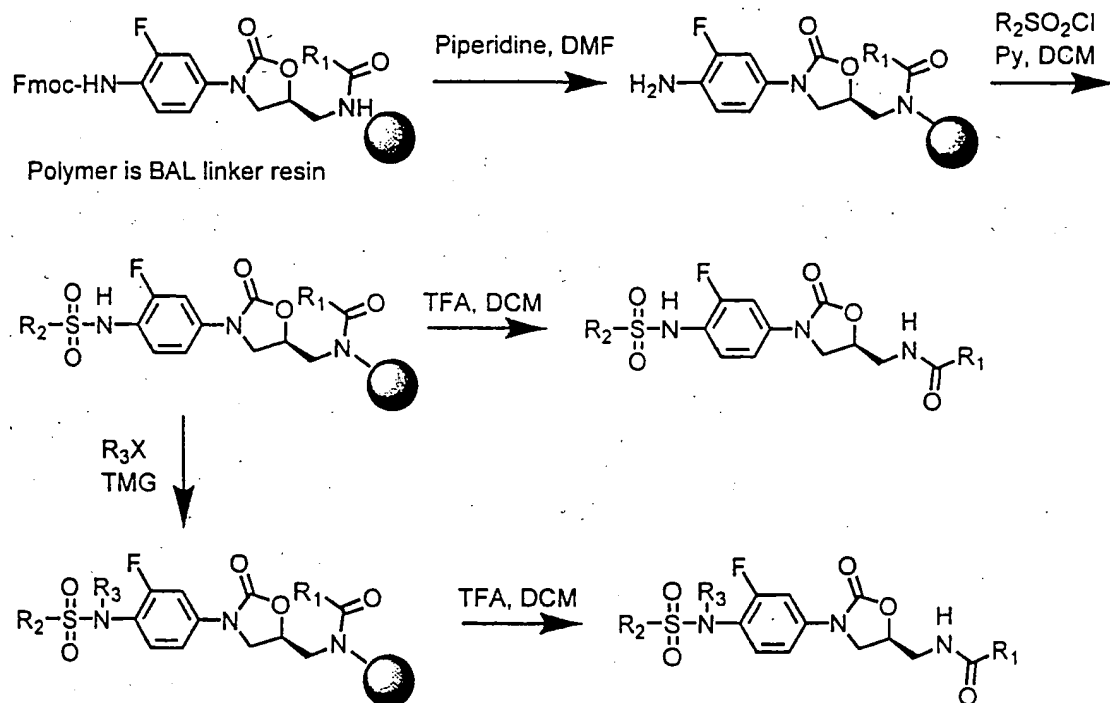


FIGURE 40

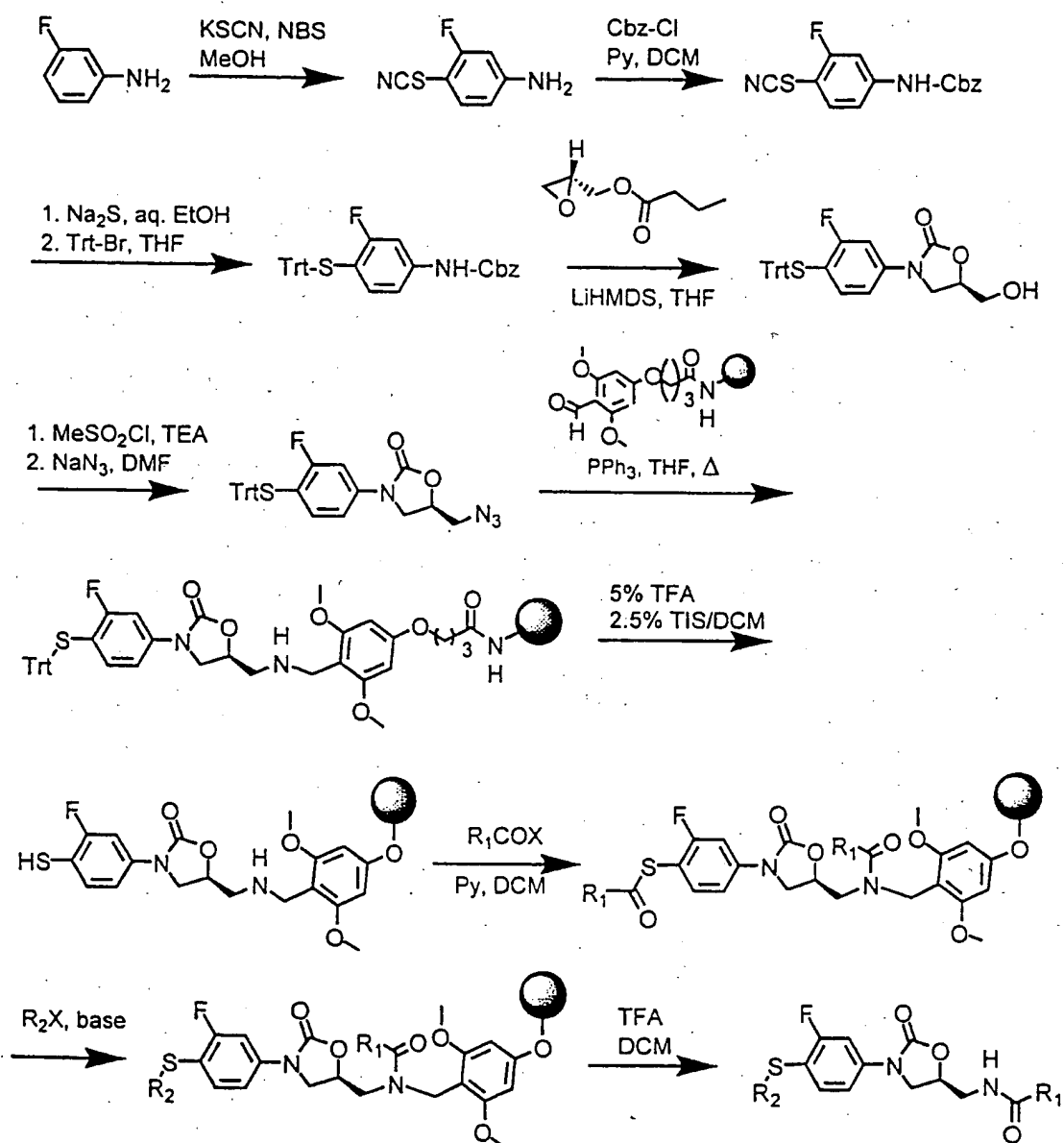


FIGURE 41

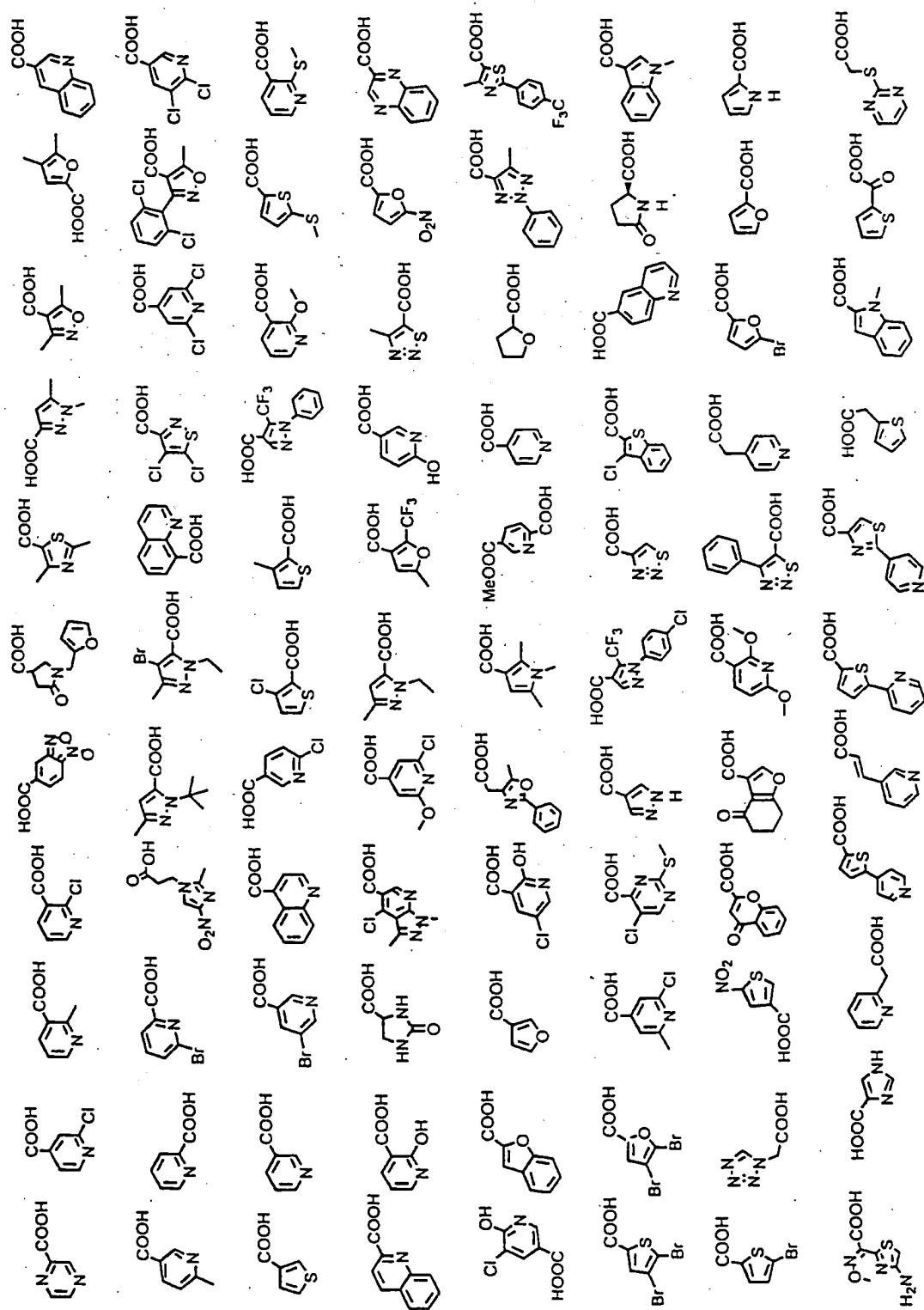
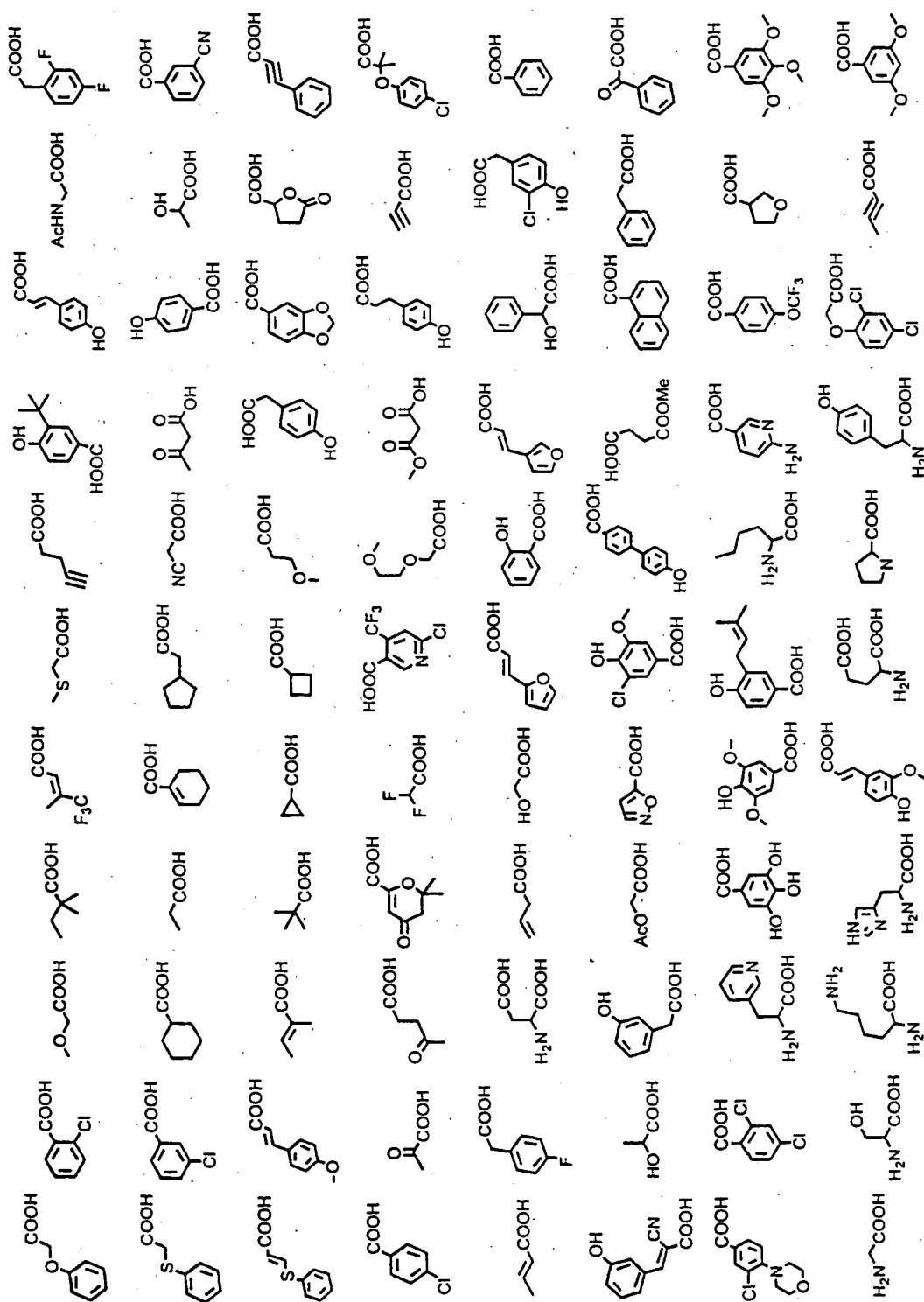


FIGURE 42



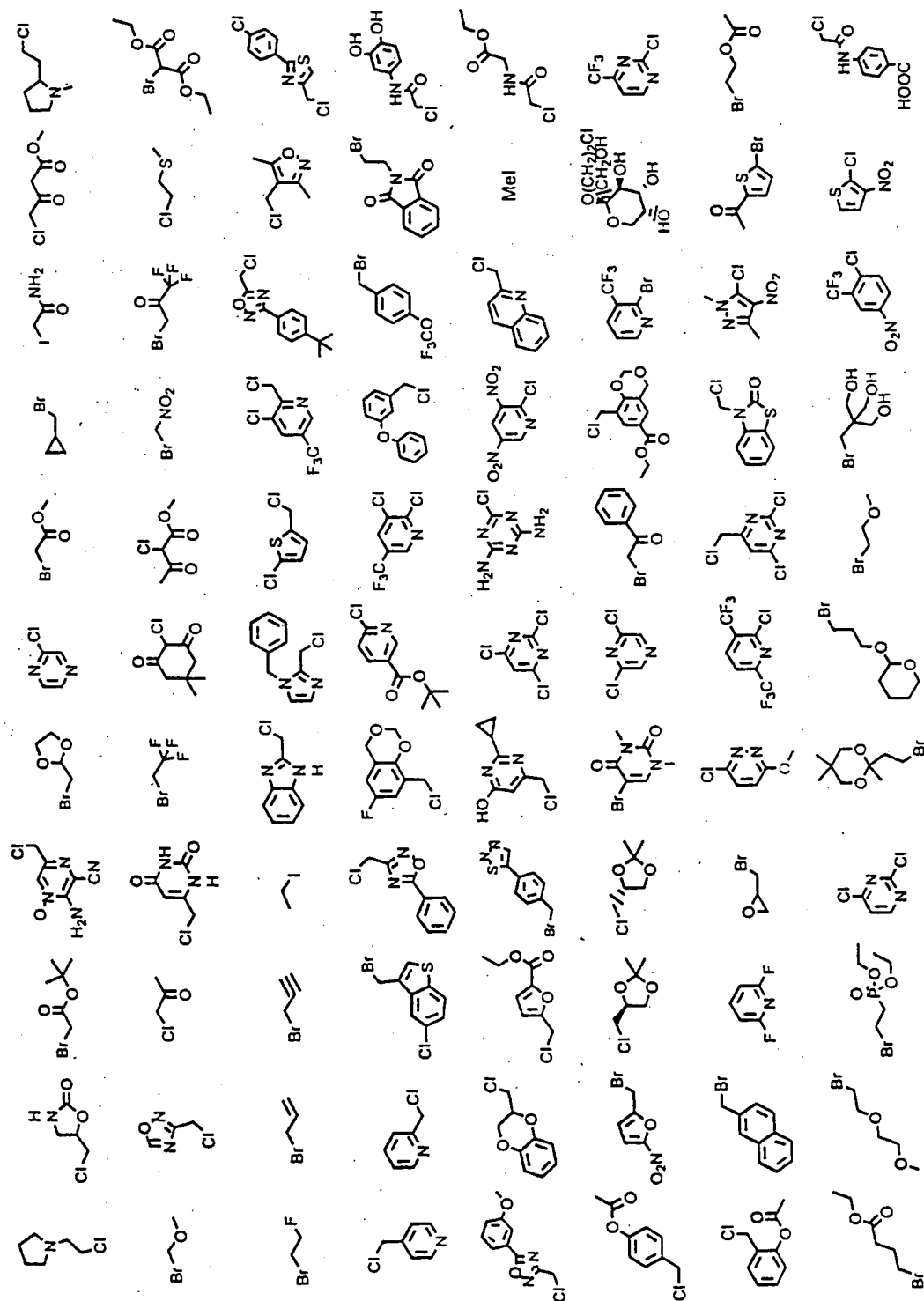


FIGURE 44

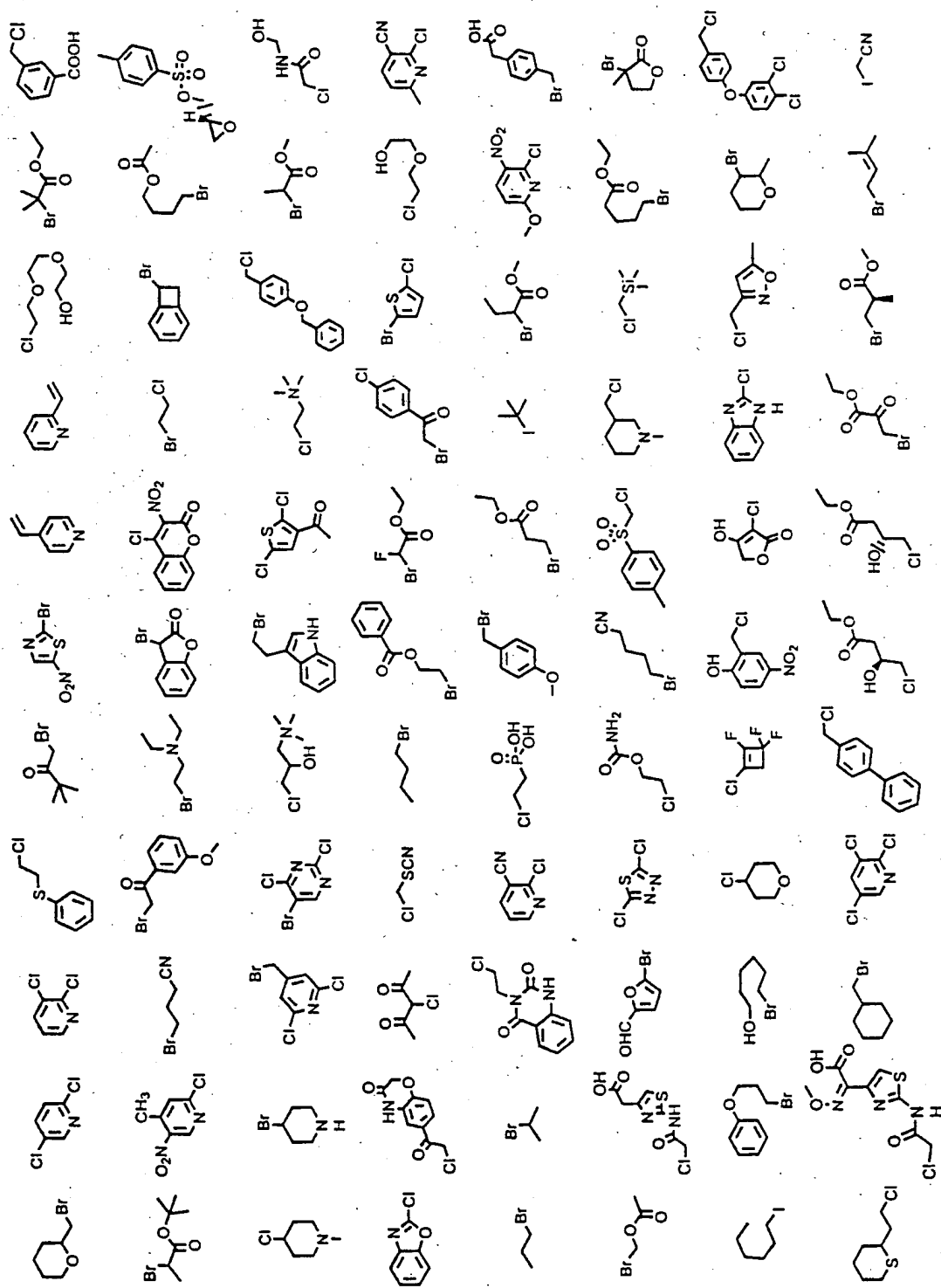


FIGURE 45

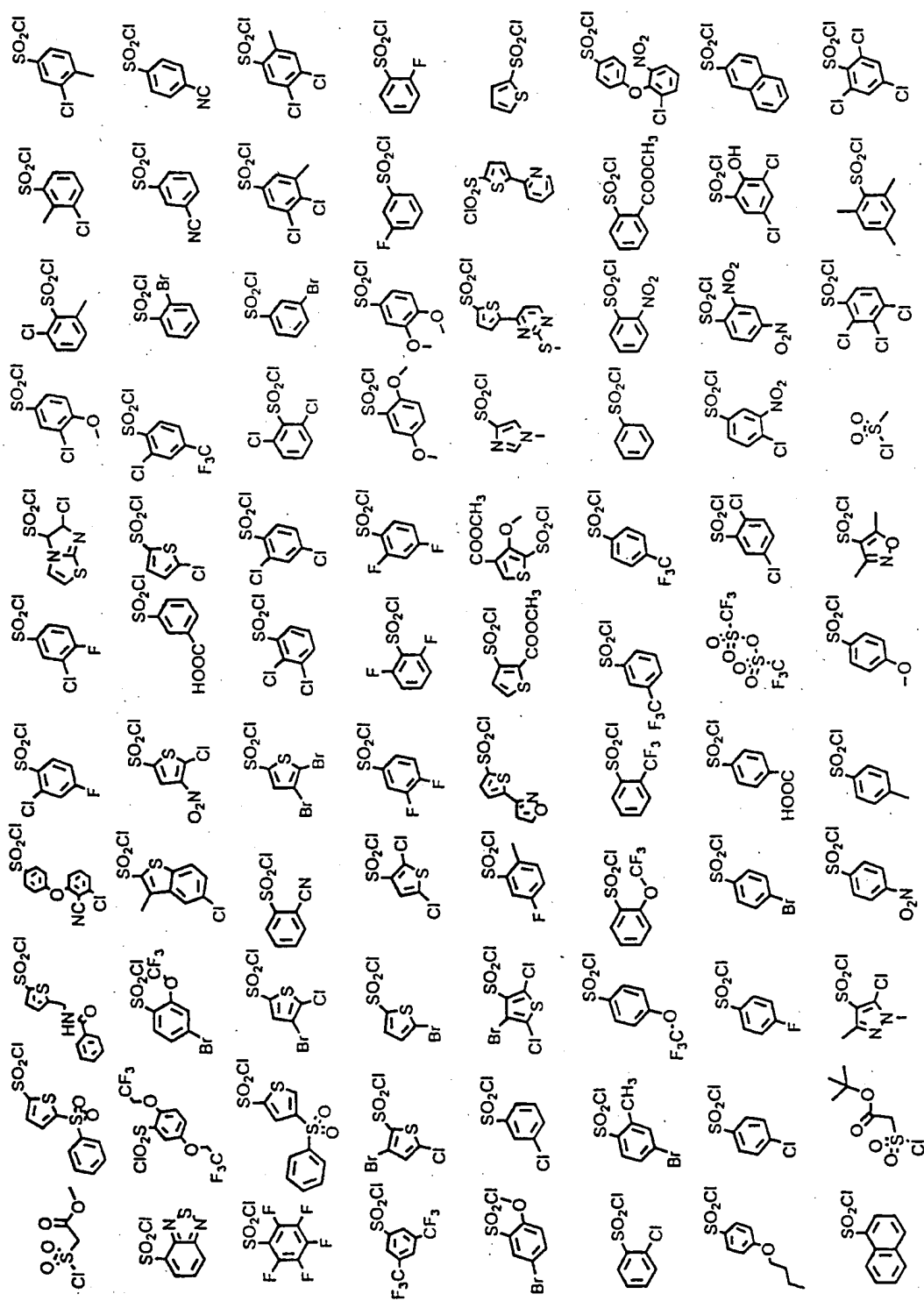


FIGURE 46

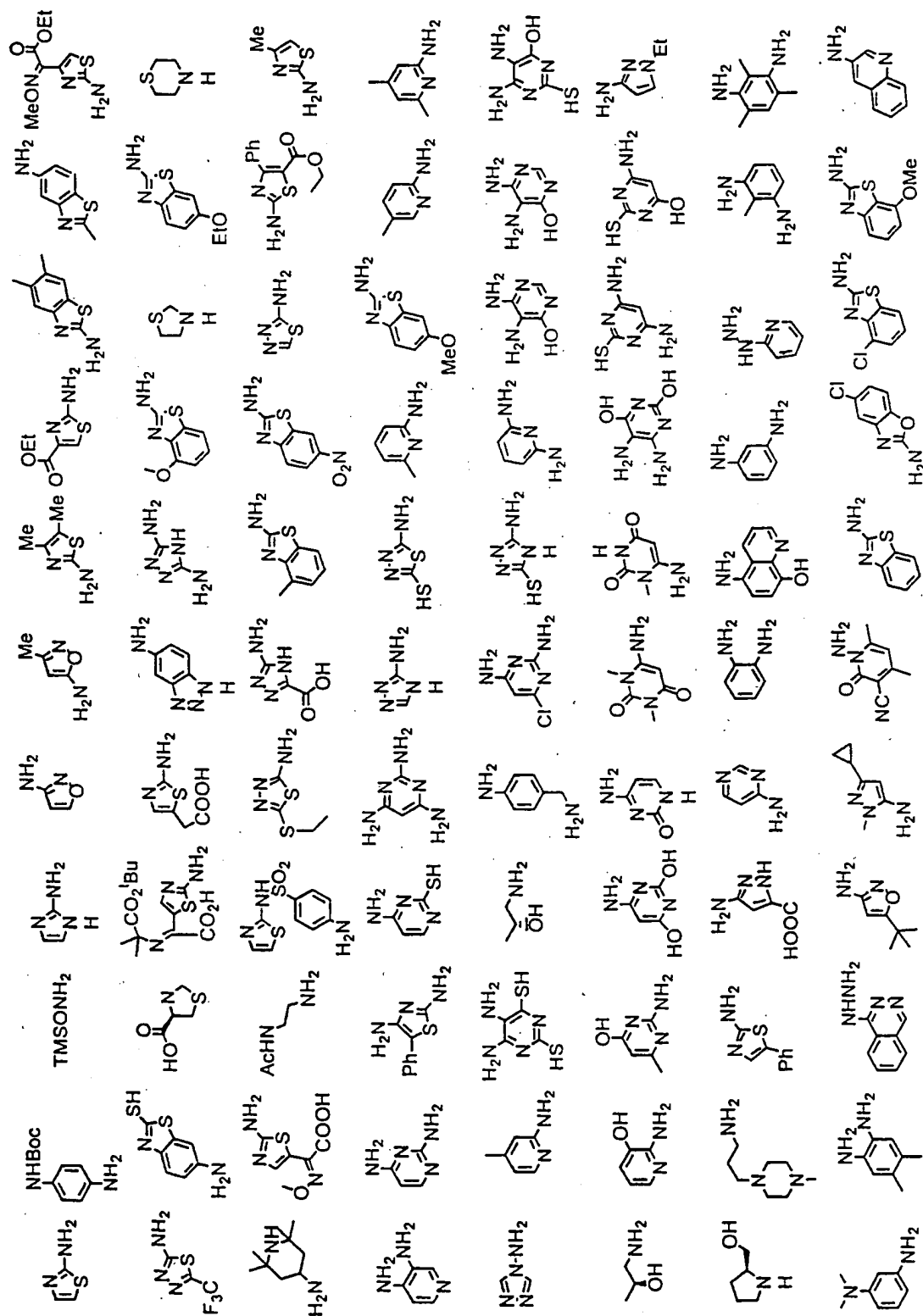


FIGURE 47

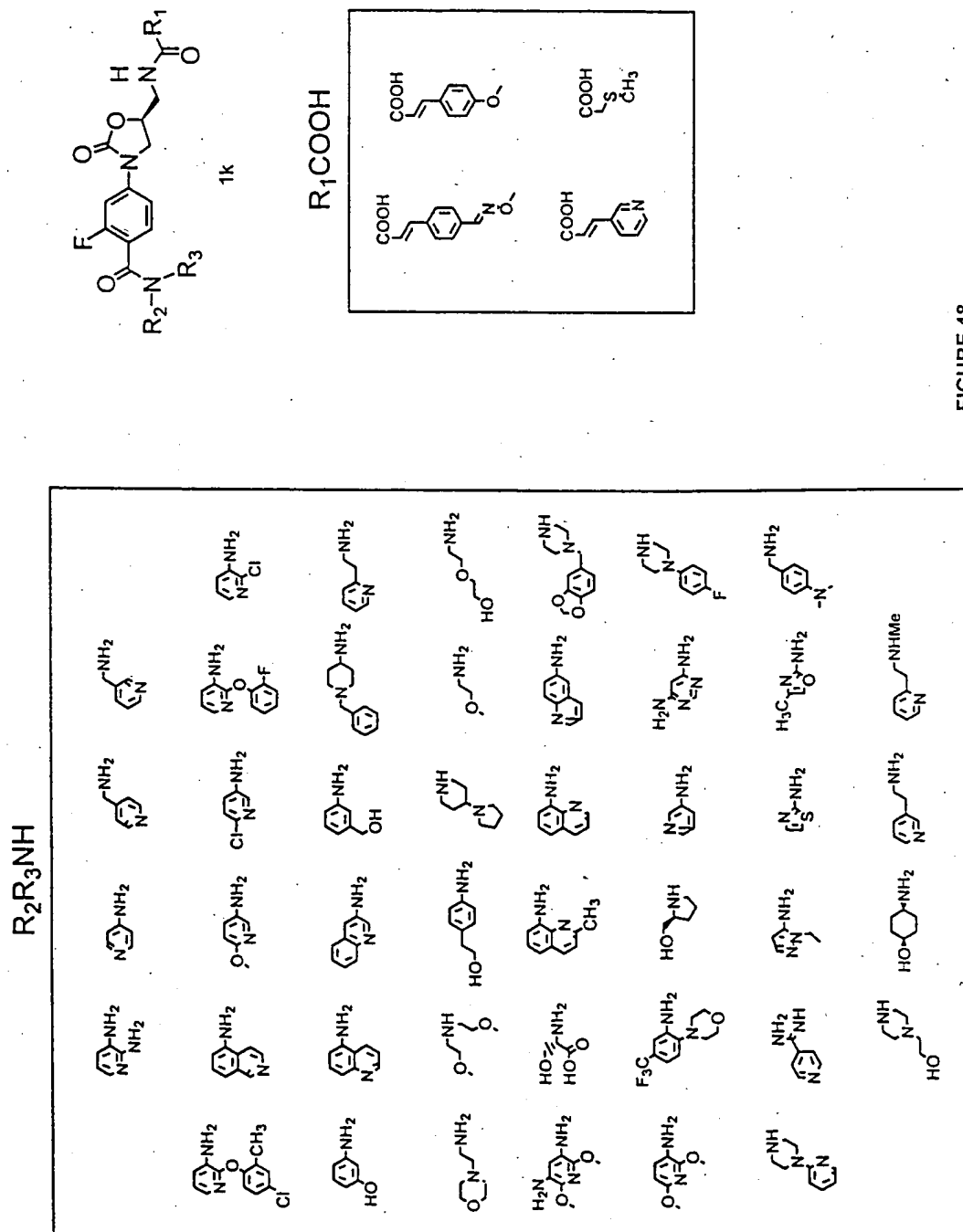
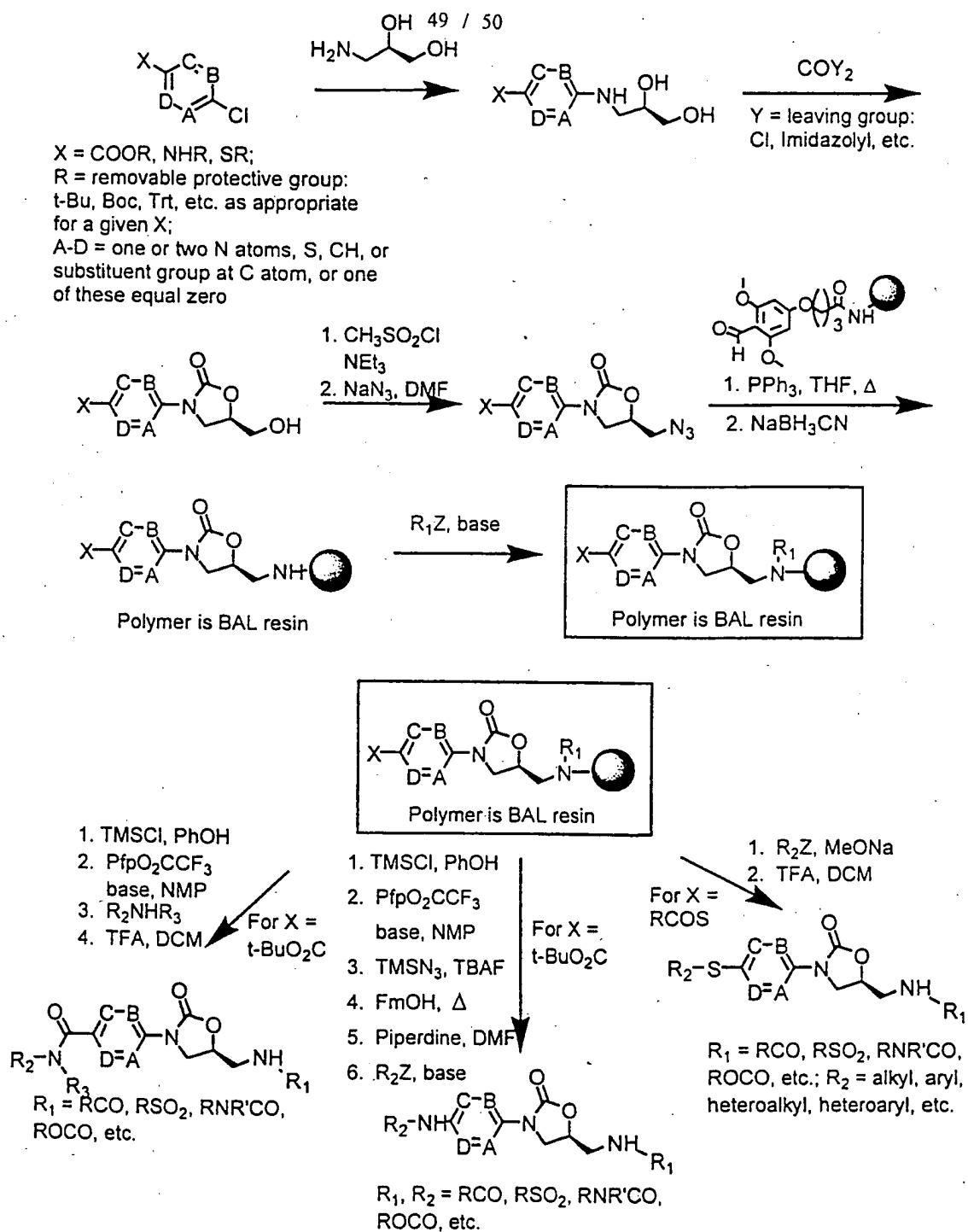
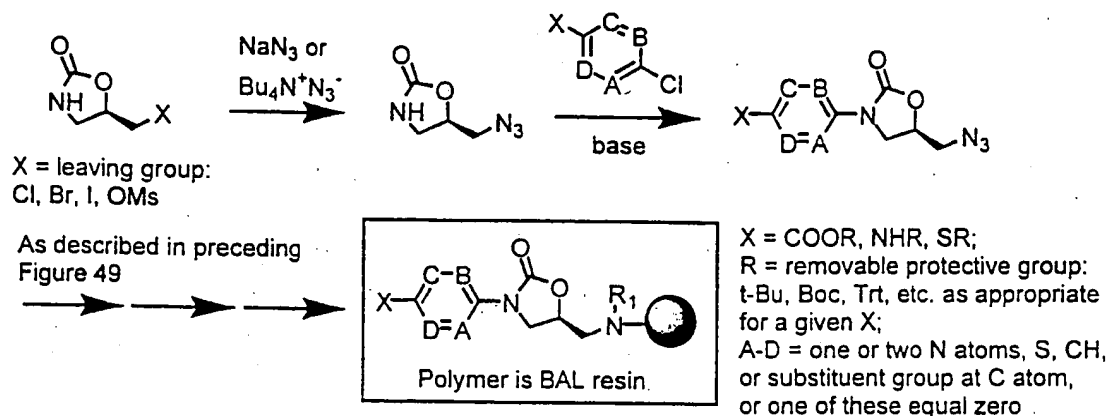


FIGURE 48



Synthesis from 5-(S)-azidomethyloxazolidinone



Synthesis from 5-(S)-(protected amino)methyloxazolidinone

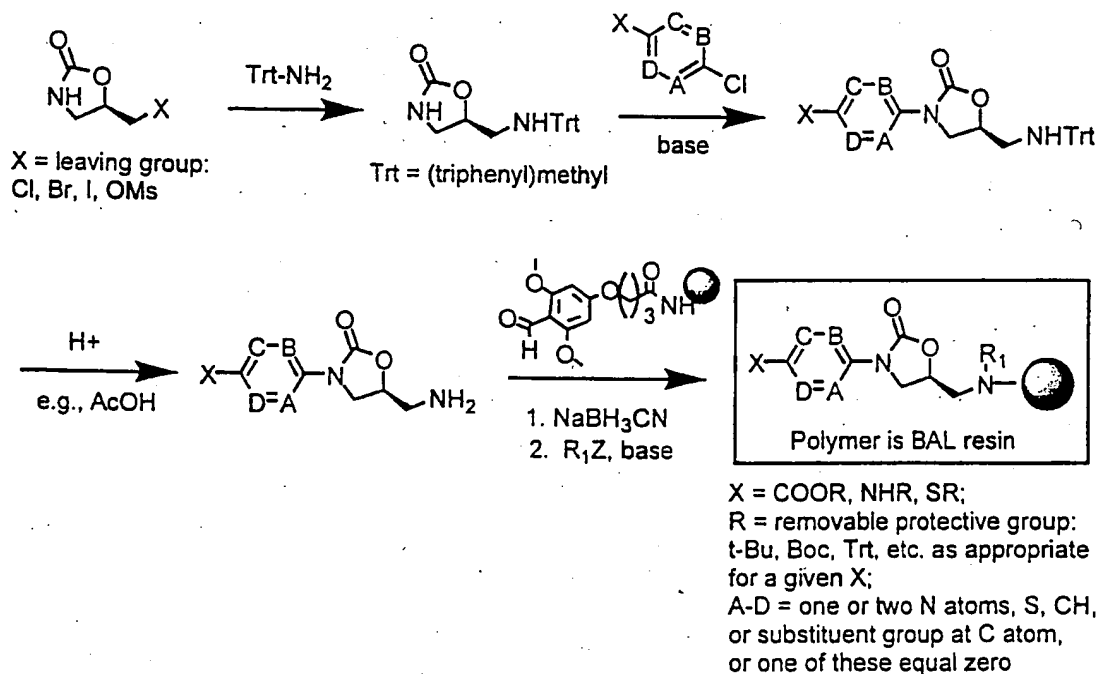


FIGURE 50

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/US 99/01318

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D263/20 C07D413/12 C07D417/12 C07F9/653 C07D417/04
C07D413/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D C07B A61K C07F

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with Indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 97 30981 A (PHARMACIA & UPJOHN CO) 28 August 1997 see claims ---	7-9, 13-43, 60-82, 95
X	WO 97 21708 A (PHARMACIA & UPJOHN CO) 19 June 1997 see claims ---	7-9, 13-43, 60-82, 95
X	WO 98 01446 A (ZENECA LTD) 15 January 1998 see claims ---	7-9, 13-43, 60-82, 95

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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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Date of the actual completion of the international search

21 April 1999

Date of mailing of the international search report

03/05/1999

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
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Henry, J

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 99/01318

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 98 01447 A (ZENECA LIMITED) 15 January 1998 see claims ---	7-9, 13-43, 60-82,95
X	WO 95 14684 A (UPJOHN CO) 1 June 1995 see claims ---	7-9, 13-43, 60-82,95
X	US 4 801 600 A (WANG CHIA-LIN J ET AL) 31 January 1989 see claims ---	7-9, 13-43, 60-82,95
X	WO 93 09103 A (UPJOHN CO) 13 May 1993 see claims ---	7-9, 13-43, 60-82,95
X	WO 93 23384 A (UPJOHN CO.) 25 November 1993 see claims ---	7-9, 13-43, 60-82,95
X	WO 94 13649 A (UPJOHN CO) 23 June 1994 see claims ---	7-9, 13-43, 60-82,95
X	WO 95 07271 A (UPJOHN CO) 16 March 1995 see claims ---	7-9, 13-43, 60-82,95
X	WO 97 10223 A (PHARMACIA & UPJOHN CO) 20 March 1997 see claims ---	7-9, 13-43, 60-82,95
X	EP 0 127 902 A (DU PONT DE NEMOURS) 12 December 1984 see claims ---	7-9, 13-43, 60-82,95
X	EP 0 184 170 A (DU PONT DE NEMOURS) 11 June 1986 see claims ---	7-9, 13-43, 60-82,95
X	EP 0 312 000 A (DU PONT DE NEMOURS) 19 April 1989 see claims ---	7-9, 13-43, 60-82,95

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 99/01318

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 316 594 A (DU PONT DE NEMOURS) 24 May 1989 see claims ---	7-9, 13-43, 60-82,95
X	EP 0 352 781 A (DU PONT DE NEMOURS) 31 January 1990 see claims ---	7-9, 13-43, 60-82,95
X	EP 0 359 418 A (UPJOHN CO) 21 March 1990 see claims ---	7-9, 13-43, 60-82,95
X	EP 0 694 543 A (BAYER AG) 31 January 1996 see claims ---	7-9, 13-43, 60-82,95
X	EP 0 693 491 A (BAYER AG) 24 January 1996 see claims ---	7-9, 13-43, 60-82,95
X	DE 196 49 095 A (BAYER AG) 7 August 1997 see claims ---	7-9, 13-43, 60-82,95
X	DE 196 04 223 A (BAYER AG) 7 August 1997 see claims ---	7-9, 13-43, 60-82,95
A	WO 97 19039 A (NOVARTIS AG) 29 May 1997 see claims ---	1-6, 44-54
A	BALKENHOHL F ET AL: "COMBINATORIAL SYNTHESIS OF SMALL ORGANIC MOLECULES" ANGEWANDTE CHEMIE. INTERNATIONAL EDITION, vol. 35, no. 20, 1996, pages 2288-2237, XP002065423 see the whole document ---	1-6, 44-54
P,A	BUCHSTALLER H -P: "Solid Phase Synthesis of Oxazolidinones via a Novel Cyclisation/Cleavage Reaction" TETRAHEDRON, vol. 54, no. 14, 2 April 1998, page 3465-3470 XP004110492 see the whole document ---	1-6, 44-54
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1.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 99/01318

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,A	<p>HOLTE P T ET AL: "Solid-Phase Synthesis of 3,5-Disubstituted 1,3-Oxazolidin-2-ones by an Activation/Cyclo-elimination Process"</p> <p>TETRAHEDRON LETTERS, vol. 39, no. 40, 1 October 1998, page 7407-7410 XP004133693 see the whole document</p> <p>-----</p>	<p>1-6, 44-54</p>

INTERNATIONAL SEARCH REPORT

International application No.

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Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 95-100
because they relate to subject matter not required to be searched by this Authority, namely:
Remark: Although claims 95-100
are directed to a method of treatment of the human/animal
body, the search has been carried out and based on the alleged
effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such
an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all
searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment
of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report
covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is
restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest.

☐ No protest accompanied the payment of additional search fees.

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